

EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
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L2	236	I1 and muscarinic	US-PGPUB; USPAT	OR	ON	2007/11/29 15:16

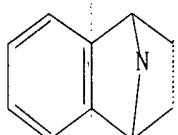
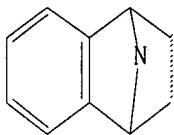
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(FILE 'HOME' ENTERED AT 13:41:00 ON 29 NOV 2007)

FILE 'REGISTRY' ENTERED AT 13:41:10 ON 29 NOV 2007
STRUCTURE uploaded

L4 FILE 'CAPLUS' ENTERED AT 13:41:40 ON 29 NOV 2007
23 S L3

=> d que 14 stat
L1 ST1



Structure attributes must be viewed using STN Express query preparation.

L3 60 SEA FILE=REGISTRY SSS FUL L1
L4 23 SEA FILE=CAPLUS ABB=ON PLU=ON L3

=> d 1-23 ibib iabs hitstr

L4 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:589519 CAPLUS

DOCUMENT NUMBER: 145:220446

TITLE: A new class of laser dyes, 2-oxa-bicyclo[3.3.0]octa-

4,8-diene-3,6-diones, with unity fluorescence yield
AUTHOR(S): Wang, Chao-Yu; Yeh, Yu-Shan; Li, Elise Y.; Liu, Yi-Hong; Peng, Shiu-Ming; Liu, Shiu-Tzung; Chou, Pi-Tai

CORPORATE SOURCE: Department of Chemistry and Instrumentation Center, National Taiwan University, Taipei, 106, Taiwan

SOURCE: Chemical Communications (Cambridge, United Kingdom) (2006), (25), 2693-2695

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:220446

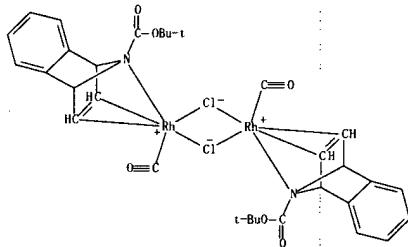
ABSTRACT:

A new class of highly fluorescent dyes, 4,8-diphenyl-2-oxa-bicyclo[3.3.0]octa-4,8-diene-3,6-diones (1a-c), were synthesized; they all exhibit unity fluorescence quantum yield and short radiative lifetime (~ 4 ns) in common organic solvents and demonstrated remarkable amplified spontaneous emission with a gain efficiency of > 10 .

IT 898258-61-2

RL: CAT (Catalyst use): USES (Uses)
(new class of laser dyes, 2-oxa-bicyclo[3.3.0]octa-4,8-diene-3,6-diones, with unity fluorescence yield)

RN 898258-61-2 CAPLUS

CN Rhodium, dicarbonyldi- μ -chlorobis[(2,3-n)-1,1-dimethylethyl 1,4-dihydronaphthalen-1,4-imine-9-carboxylate- κ N9]di-, stereoisomer (9Cl) (CA INDEX NAME)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:427347 CAPLUS

DOCUMENT NUMBER: 145:188529

TITLE: Rhodium-Catalyzed Ring-Opening Reactions of N-Boc-Azabenzonorbornadienes with Amino Nucleophiles
AUTHOR(S): Cho, Ghong-hwan; Zunic, Valentin; Senboku, Hisanori; Olsen, Madeline; Lautens, Mark

CORPORATE SOURCE: Davenport Laboratories, Department of Chemistry, University of Toronto, Toronto, ON, M5H 3H6, Can.

SOURCE: Journal of the American Chemical Society (2006), 128(21), 6837-6846

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:188529

ABSTRACT:

In the presence of a rhodium catalyst (5 mol %) generated in situ from [Rh(codCl)2 and (R, R')-C2-ferriphos, the asym. ring-opening reaction of azabenzonorbornadienes with various aliphatic and aromatic amines proceeded with high enantioselectivity (> 95% ee) to give 1,2-dihydronaphthalene-1,2-diamines in high yields. In the specific case of pyrrolidine as nucleophile, Et3NHCi was necessary as an additive for good reactivity and enantioselectivity. Addnl., a practical protocol was developed for the ring-opening of N-tert-butylcarboxyl-7-azabenzonorbornadienes with volatile amines at elevated temps. and standard pressure, using R2NNi HI and (Me2CH)2NEt. The exptl. results showed that the nature of the chiral ligand has the significant impact on the reactivity of the catalyst and the use of excess amount (2.2 equiv to Rh) of the chiral ligand plays an important role to improve the enantioselectivity in the present asym. reaction.

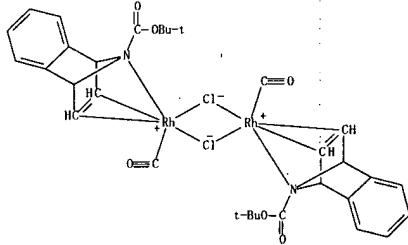
IT 898258-61-2P

RL: PROPERTIES; SPN (Synthetic preparation); PREP (Preparation)
(crystal structure of a rhodium complex which may be an intermediate in the stereoselective and enantioselective rhodium-catalyzed ring opening of N-Boc-azabenzonorbornadienes with amines)

RN 898258-61-2 CAPLUS

CN Rhodium, dicarbonyldi- μ -chlorobis[(2,3-n)-1,1-dimethylethyl 1,4-dihydronaphthalen-1,4-imine-9-carboxylate- κ N9]di-, stereoisomer (9Cl) (CA INDEX NAME)

L4 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1103428 CAPLUS

DOCUMENT NUMBER: 143:386757

TITLE: Preparation of arylcyclohexyl amides and ureas as M3 muscarinic acetylcholine receptor antagonists
INVENTOR(S): Busch-Petersen, Jakob; Cooper, Anthony W. J.; Laine, Dramane I.; Palovich, Michael R.; Wan, Zehong; Yan, Hongxing; Zhu, ChongjiePATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 79 pp.

DOCUMENT TYPE: Patent

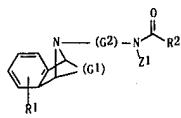
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

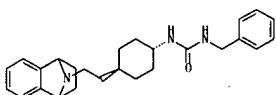
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005094251	A2	20051013	WO 2004-US8025	20040317
WO 2005094251	A3	20060330		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TG				
EP 1725238	A2	20061129	EP 2004-021844	20040317
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LT, LV				
JP 2007529511	T	20071025	JP 2007-503875	20040317
US 2007185148	A1	20070809	US 2006-598885	20060914
OTHER SOURCE(S): MARPAT 143:386757			WO 2004-US8025	W 20040317
GRAPHIC IMAGE:				

L4 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

ABSTRACT:

Title compds. I [Z1 = H or alkyl; R1 = H, halo, C(O)aryl, etc.; G1 = CH2CH2 or CH=CH; G2 = alkyl or substituted cyclohexyl; R2 = XAr, XAr1YAr2 or NR3Z(Ar)n; X = bond, NR2 or NR1Ar1; R3 = (un)substituted alkyl or alkylaryl; Z = (un)substituted alkyl or alkyl] of 2 and Z3 or Z and R3 or Z and R3 form a 7-membered ring; Ar = (un)substituted aryl or aromatic heterocyclic heterocyclic ring system; Y = bond, NHCO, CONH, etc.; Y2 = NH3, O, S, etc.; n = 0-3] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of M3 muscarinic acetylcholine receptors. Thus, e.g., II was prepared by coupling of 1,2,3,4-tetrahydro-1,4-epiaza-naphthalene with [4-(2-oxo-ethyl)-cyclohexyl]-carboxylic acid tert-Bu ester followed by deprotection and subsequent benzylization using benzyl isocyanate. The inhibitory activity of I was evaluated using receptor-activated calcium mobilization assay (no data). I as antagonist of M3 muscarinic acetylcholine receptor should prove useful in the treatment of chronic obstructive lung disease, chronic bronchitis and asthma. Pharmaceutical compds. comprising I are disclosed.

IT 866565-80-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of cyclohexyl amides and ureas as M3 muscarinic acetylcholine receptor antagonists)

RN 866565-80-2 CAPLUS

CN Urea, N,N'-bis[trans-4-(2-(1,2,3,4-tetrahydronaphthalen-1,4-imin-9-yl)ethyl]cyclohexyl]- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:618315 CAPLUS
DOCUMENT NUMBER: 144:311929

TITLE: Current status of synthesis of deprotected tridentates by substituent variations of aza-ACE reaction
AUTHOR(S): Margetic, Davor; Butler, Douglas N.; Warrener, Ronald N.
CORPORATE SOURCE: Centre for Molecular Architecture, Central Queensland University, Rockhampton, Queensland, 4702, Australia
SOURCE: International Electronic Conferences on Synthetic Organic Chemistry, 5th, 6th, Sept. 1-30, 2001 and 2002 (and) 7th, 8th, Nov. 1-30, 2003 and 2004 (2004). 843-852. Editor(s): Seijns, Julio A. Molecular Diversity Preservation International: Basel, Switz. CONFERENCE: 69GTC0 Conference: (computer optical disk)

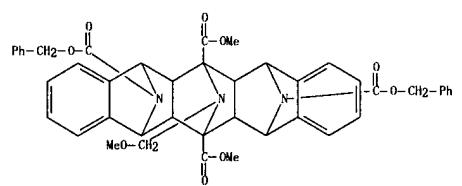
DOCUMENT TYPE: English
LANGUAGE: CASREACT 144:311929

ABSTRACT: A procedure for deprotection of N-protected NH-tridentates is reported. Several N-protected tridentates were deprotected using TFA, ionic hydrogenation, or catalytic hydrogenation, to give the NH-tridentates.

IT 880097-27-8 880097-28-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of NH-tridentates via deprotection of N-protected NH-tridentates)

RN 880097-27-8 CAPLUS

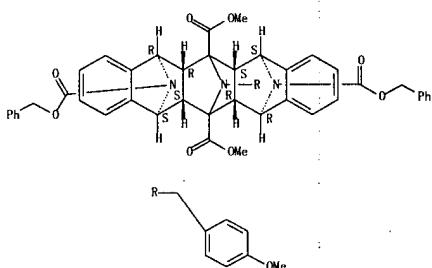
CN Pentacene-5,14:6,13:7,12-triimine-6,13,15,17-tetracarboxylic acid, 5,5a,6a,7,12,12a,13a,14-octahydro-16-[(methoxymethyl)-, 6,13-dimethyl 15,17-bis(phenylmethyl) ester, (5a,5aP,6a,6aP,7,alph a,12a,12aP,13a,13aP,14a)- (9CI) (CA INDEX NAME)



IT 880097-28-9 CAPLUS
RN 880097-28-9 CAPLUS
CN Pentacene-5,14:6,13:7,12-triimine-6,13,15,17-tetracarboxylic acid, 5,5a,6a,7,12,12a,13a,14-octahydro-16-[(4-methoxyphenyl)methyl]-, 6,13-dimethyl 15,17-bis(phenylmethyl) ester, (5a,5aP,6a,6aP,7,alph a,12a,12aP,13a,13aP,14a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



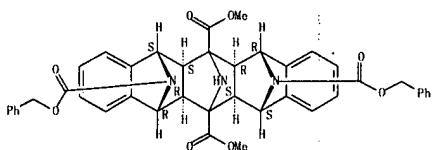
IT 336611-53-IP

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of NH-tridentates via deprotection of N-protected NH-tridentates)

RN 336611-53-1 CAPLUS

CN Pentacene-5,14:6,13:7,12-triimine-6,13,15,17-tetracarboxylic acid, 5,5a,6a,7,12,12a,13a,14-octahydro-16-[(methoxymethyl)-, 6,13-dimethyl 15,17-bis(phenylmethyl) ester, (5a,5aP,6a,6aP,7,alph a,12a,12aP,13a,13aP,14a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:134424 CAPLUS
DOCUMENT NUMBER: 142:392314

TITLE: The Pyrrole Approach toward the Synthesis of Fully Functionalized Cup-Shaped Molecules
AUTHOR(S): Zonta, Cristiano; Fabris, Fabrizio; De Lucchi, Ottorino
CORPORATE SOURCE: Dipartimento di Chimica, Universita Ca' Foscari di Venezia, Venice, I-30123, Italy
SOURCE: Organic Letters (2005), 7(6), 1003-1006

PUBLISHER: CODEN: ORLETF; ISSN: 1523-7060
American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:392314

ABSTRACT: A novel method for the synthesis of new highly functionalized cyclotrimers is described. The method consists of an original synthesis of β -dibromopyrroles, metathesis, cycloaddition, and cyclotrimerization. The sequence is highly compatible with common functional groups and allows the construction of cup-shaped mols. functionalized both at the upper and bottom rim. This feature makes the newly formed structures useful scaffolds for the development of supramol. receptors.

IT 849939-32-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of cyclotrimers of azabicycloheptenes from pyrrole)

RN 849939-32-8 CAPLUS
CN Triphthylene-5,18:6,11:12,17-triimine, 5,6,11,12,17,18-hexahydro-19,20,21-tris(4-methylphenylsulfonyl)]-, (5R,6R,11S,12R,17S,18S)-rel-(9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 849939-29-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of cyclotrimers of azabicycloheptenes from pyrrole)

RN 849939-29-3 CAPLUS
CN Triphthylene-5,18:6,11:12,17-triimine, 5,6,11,12,17,18-hexahydro-19,20,21-tris(4-methylphenylsulfonyl)]-, (5R,6S,11R,12S,17R,18S)-rel-(9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 200490287 CAPLUS
 DOCUMENT NUMBER: 141:379801
 TITLE: A preparation of naphthalene-1,4-imine derivatives, useful as M3 muscarinic acetylcholine receptor antagonists
 INVENTOR(S): Busch-Petersen, Jakob; Laine, Dramane I.; Palovich, Michael R.; McCleland, Brent W.
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NDM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091482	A2	20041028	WO 2004-US10641	20040407
WO 2004091482	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2005094840	A1	20050113	WO 2004-US8027	20040317
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EP 1725564	A1	20061129	EP 2004-821846	20040317
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EP 1725241	A1	20061129	EP 2004-821848	20040317
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L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 JP 2007529513 T 20071025 JP 2007-503877 20040317
 JP 2007529514 T 20071025 JP 2007-503878 20040317
 EP 1613307 A2 20060111 EP 2004-749817 20040407
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
 JP 2006522161 T 20060928 JP 2006-509761 20040407
 US 2006211758 A1 20060921 US 2005-552492 20051007
 US 7232841 B2 20070619
 US 2007149598 A1 20070628 US 2006-598882 20060914
 US 2007185088 A1 20070809 US 2006-598883 20060914
 PRIORITY APPLN. INFO.: US 2003-4B0860P P 20030407
 US 2004-US8027 W 20040317
 WO 2004-US8032 W 20040317
 WO 2004-US10641 W 20040407

OTHER SOURCE(S): MARPAT 141:379801
 GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ABSTRACT:
 The invention relates to a preparation of novel naphthalene-1,4-imine derivs. of formula I [wherein: R is H, halogen, alkyl, alkanoyl, or aroyl; Y is alkyl, (CH₂)₂-(cyclohex-1,4-diy), or CH₂-(cyclohex-1,4-diy)-CH₂, etc.; Z is (CH₂)₂ or CH:CH; X is -Q-Ar-Q- or -Q-L-Q-; Q is a bond, alkyl, or O-alkyl, etc.; Ar is (un)substituted Ph or 5-6-membered aromatic heterocyclic ring; L is a bond or (cyclo)alkyl], useful for the treatment of M3 muscarinic receptor antagonists (no biol. data). For instance, naphthalene-1,4-imine derivative II was prepared via amidation of 1,2-benzenediacetic acid by cyclohexylamine derivative III with a yield of 18% (example I).

IT 781665-82-5P 781665-85-8P 781665-86-9P

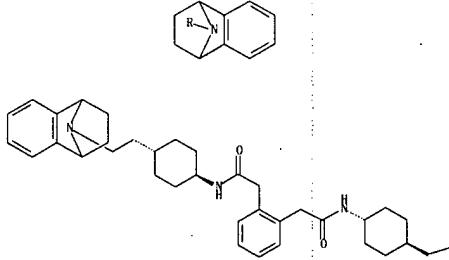
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of naphthalene-1,4-imine derivs., useful as M3 muscarinic acetylcholine receptor antagonists)

RN 781665-82-5 CAPLUS
 1, 2-Benzenediacetamide, N,N'-bis[trans-4-[2-(1, 2, 3, 4-tetrahydronaphthalen-1, 4-imin-9-yl)ethyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

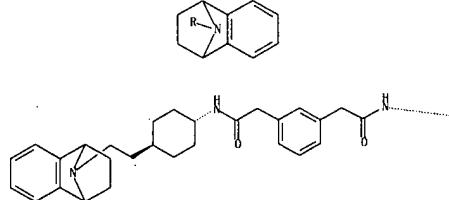
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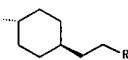
PAGE 1-B

L4 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



RN 781665-86-8 CAPLUS
 Urea, N,N'-[1,3-phenylenebis(methylene)]bis[N'-[trans-4-[2-(1, 2, 3, 4-tetrahydronaphthalen-1, 4-imin-9-yl)ethyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 781665-85-8 CAPLUS
 1, 3-Benzenediacetamide, N,N'-bis[trans-4-[2-(1, 2, 3, 4-tetrahydronaphthalen-1, 4-imin-9-yl)ethyl]cyclohexyl]- (9CI) (CA INDEX NAME)

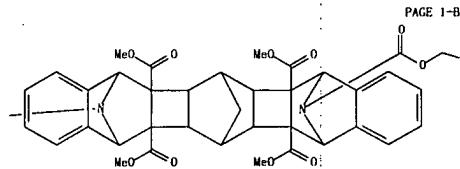
Relative stereochemistry.

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



PAGE 1-C

~Ph

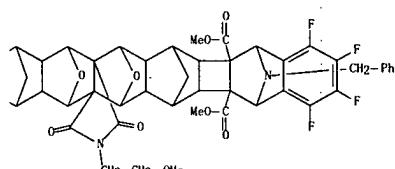
RN 382629-95-0 CAPLUS
 CN 6, 13-Methanobenzo[b]naphtho[2', 3': 3, 4]cyclobuta[1, 2-h]biphenylene-5, 14; 7, 12-dimine-5a, 6b, 12a, 13b, 15, 17-hexacarboxylic acid, 5, 5b, 6, 6a, 7, 12, 12b, 13, 13a, 14-decahydro-, 5a, 6b, 12a, 13b-tetramethyl-, 15, 17-bis(phenylmethyl) ester, (5a, 5a β , 5b α , 6b, 6a, 6a β , 13a β , 13b, 13a α , 13b β , 14a α)- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

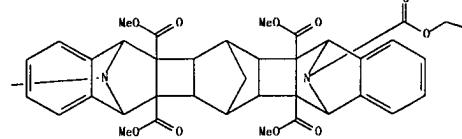
PAGE 1-B



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

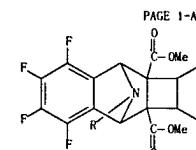
PAGE 1-B



PAGE 1-C

~Ph

RN 382629-96-1 CAPLUS
 CN 7, 18; 8, 17-Diepoxy-7a, 17a-(methaniminomethane)-6, 19; 9, 16-dimethanobisnaphtho[2', 3': 3, 4]cyclobuta[1, 2-b; 1', 2'-k]naphthacene-5, 20; 15, 17-trimine-5a, 5b, 13a, 19a, 20-decahydro-, 5, 5b, 6, 6a, 7, 8, 8a, 9, 9a, 10, 15, 15b, 16, 16a, 17, 18, 18a, 19, 19a, 20-decahydro-25-(2-methoxyethyl)-24, 20-dioxa-21, 29-bis(phenylmethyl)-1-tetramethyl ester, (5a, 5a β , 5b α , 6, beta-6a β , 7a, 7a β , 8a, 8a β , 9a, 9a β , 10a, 15a, 15b α , 16a, 16a β , 17a, 17a β , 18a, 18a β , 19a, 19a β , 19b α , 19b β , 20a α)- (9CI) (CA INDEX NAME)



PAGE 1-A



L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ACCESSION NUMBER: 2001126710 CAPLUS

134:326487

DOCUMENT NUMBER: Neighbouring group participation in N-methoxymethyl 7-azanorbornanes I: the synthesis of

N, N'-methano-bridged diazasesquenorbornanes, and CN3-[4]polynorbornanes

AUTHOR(S): Warren, Ronald N.; Margetic, Davor; Butler, Douglas N.; Sun, Guangxing

CORPORATE SOURCE: Centre for Molecular Architecture, Central Queensland University, Rockhampton, 4702, Australia

SOURCE: Syntex (2001), (2), 202-205

CODEN: SVNES, ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:326487

ABSTRACT:

A new tandem route to N,N'-methano-bridged diazasesquenorbornanes is reported in which 7-azabenzenonorbornadienes are reacted with ester-activated N-(methoxymethyl)aziridinocyclobutanes to form adducts which immediately undergo N,N'-methano-bridge formation by nucleophilic attack of the nitrogen lone pair of one N-bridge onto the methoxymethyl group attached to the adjacent N-bridge. Alternative routes to N,N'-methano-bridged structures of this type are discussed.

IT 336611-53-1P

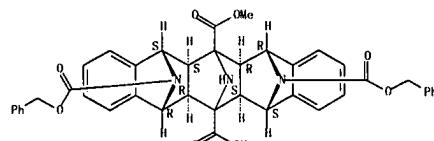
RL: BVP (Byproduct): PREP (Preparation)

(preparation of methanodiazasesquenorbornanes and polynorbornanes)

RN 336611-53-1 CAPLUS

CN Pentacene-5, 14; 6, 13; 7, 12-trimine-6, 13, 15, 17-tetracarboxylic acid, 5, 5a, 6a, 7, 12, 12a, 13a, 14-octahydro-, 6, 13-dimethyl 15, 17-bis(phenylmethyl) ester, (5a, 5a β , 6a, 6b, 7a, 12a, 12b, 13a β , 13b, 13a α , 13b β , 14a α)- (9CI) (CA INDEX NAME)

Relative stereochemistry:



IT 336611-52-0P

RL: SPN (Synthetic preparation): PREP (Preparation)

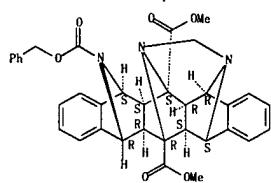
(preparation of methanodiazasesquenorbornanes and polynorbornanes)

RN 336611-52-0 CAPLUS

CN 10, 15-imino-5, 9, 16-metheno-5H, 7H-benz[f]isoindolo[2', 1': 3, 4]pyrimido[6, 1-n]isoindole-9, 15b, 18-tricarboxylic acid, 9a, 10, 15, 15b, 16, (6a-hexahydro-9, 15b-dimethyl 18-(phenylmethyl) ester, (5R, 9S, 9aS, 10S, 15R, 15aR, 15bR, 16S, 16aS, 17R)- (9CI) (CA INDEX NAME)

Relative stereochemistry:

L4 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

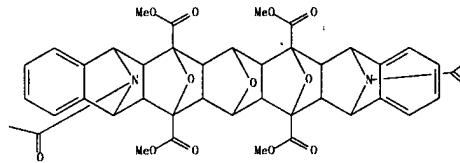
L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001126198 CAPLUS
DOCUMENT NUMBER: 134:280655TITLE: Syn-facial hetero-bridged [n]polynorbornanes: a new class of polarofacial framework molecules composed of fused 7-oxa- and 7-azanorbornanes
AUTHOR(S): Warren, Ronald N.; Margetic, Davor; Foley, Patrick J.; Butler, Douglas N.; Winling, Alain; Beales, Kerry A.; Russell, Richard A.
CORPORATE SOURCE: Centre for Molecular Architecture, Central Queensland University, Rockhampton, 4702, Australia
SOURCE: Tetrahedron (2001), 57(3), 571-582
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:280655ABSTRACT:
New oxygen-bridged norbornane-fused cyclobutene epoxides and bis-(cyclobutene epoxides) are described and shown to react stereoselectively with 7-azanorbornanes to produce syn-facial N,O-bridged polynorbornanes and stereorandomly with 7-oxanorbornanes to produce 0,O-bridged polynorbornanes as mixts. of syn-facial, and anti-facial products. Polarofacial systems containing up to six syn-facial norbornane bridges are described, while systems with seven co-facial oxygen atoms have been prepared by incorporating terminal epoxide rings to 05-[5]polynorbornanes. Ester-substituted 1,3,4-oxadiazoles are shown to be useful reagents for coupling 7-oxanorbornanes and produce predominantly syn-facial O-bridged polarofacial systems together with their anti-facial isomers.IT 233609-79-5P 332841-07-3P
RL: RCT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reagent or reagent)
(syn-facial hetero-bridged [n]polynorbornanes composed of fused 7-oxa- and 7-azanorbornanes)
RN 233609-79-5 CAPLUS
CN 6,17,7,16,8,15-Triepoxyheptacene-5,18:9,14-dimine-6,8,15,17,19,23-hexacarboxylic acid, 5,5a,6,6a,7,8,8a,9,9a,10,15,15a,16,16a,17,18,18a,19,19a,20-eicosahydro-25-(2-methoxyethyl)-24,26-dioxo-, 6,9,16,19-tetramethyl 21,29-bis(phenylmethyl) ester, (5a,5aP,6a,6aP,7a,7aP,8a,8aP,9a,14a,14aP,15a,15aP,16a,16aP,17,17aP,18a,18a)- (9Cl) (CA INDEX NAME)

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L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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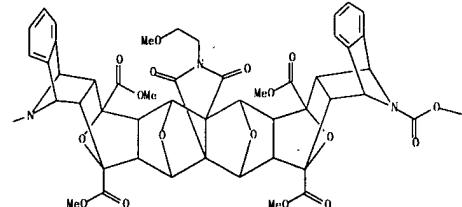


PAGE 1-C

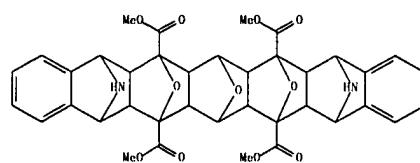
RN 332841-07-3 CAPLUS
CN 6,19:7,18,8,17:9,16-Tetraepoxy-7a,17a-(methaniminomethano)octacene-5,20:10,15-Limine-6,9,16,19,21,29-hexacarboxylic acid, 5,5a,6,6a,7,8,8a,9,9a,10,15,15a,16,16a,17,18,18a,19,19a,20-eicosahydro-25-(2-methoxyethyl)-24,26-dioxo-, 6,9,16,19-tetramethyl 21,29-bis(phenylmethyl) ester, (5a,5aP,6a,6aP,7a,7aP,8a,8aP,9a,14a,14aP,15a,15aP,16a,16aP,17,17aP,18a,18a)- (9Cl) (CA INDEX NAME)

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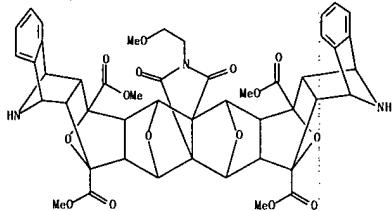


PAGE 1-C

IT 332841-05-1P 332841-09-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(syn-facial hetero-bridged [n]polynorbornanes composed of fused 7-oxa- and 7-azanorbornanes)
RN 332841-05-1 CAPLUS
CN 6,17,7,16,8,15-Triepoxyheptacene-5,18:9,14-dimine-6,8,15,17-tetrahydro-1,5,5a,6a,7,7a,8a,9,14,14a,15a,16,16a,17a,18-tetradecahydro-, tetramethyl ester, (5a,5aP,6a,6aP,7a,7aP,8a,8aP,9a,14a,14aP,15a,15aP,16a,16aP,17a,17aP,18a,18a)- (9Cl) (CA INDEX NAME)

RN 332841-09-5 CAPLUS

L4 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN 6, 19:7, 18:8, 17:9, 16-Tetraepoxy-7a, 17a-(methaniminomethano)octacene-5, 20:10, 15-diene-6, 9, 16, 19-tetracarboxylic acid,
 5, 5a, 6, 6a, 7, 8, 8a, 9, 9a, 10, 15, 15a, 16, 16a, 17, 18, 18a, 19, 19a, 20-eicosahydro-25-(2-methoxethyl)-24, 26-dioxo-, tetramethyl ester,
 (5a, 5a β , 6a, 6a β , 7a, 7a β , 8a, 8a β , 9a, 9a β , 10a, 15a β , 16a β , 16a β , 17, a1ph
 a, 17a β , 18a, 18a β , 19a, 19a β , 20a)- (9CI)
 (CA INDEX NAME)

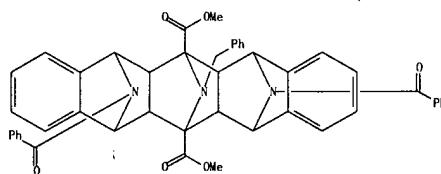


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 ACCESSION NUMBER: 2001:47311 CAPLUS
 DOCUMENT NUMBER: 134:280669
 TITLE: Inside and outside N-bridged cavity systems: evidence for syn- and anti-azatropoisomers in scaffolds containing two N-benzoyl-7-azanorbornane units
 AUTHOR(S): Warren, R. N.; Sun, G.
 CORPORATE SOURCE: Centre for Molecular Architecture, Central Queensland University, Rockhampton, Queensland, 4702, Australia
 SOURCE: Tetrahedron Letters (2001), 42(3), 465-468
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 ABSTRACT:
 Alkene and aziridine reagents containing N-benzoyl-7-azanorbornane components have been prepared and used to construct [n]polynorbornanes in a block building protocol. The presence of syn and anti isomers involving the restricted rotation of the N-COPh bridge was established by 1 H NMR spectroscopy in an NNN-[3]polynorbornane (outside bridges) whereas the anti conformer dominated in a cavity NCOCON-[7]isoplynorbornane (inside bridges, X-ray confirmation) prepared by a dual 1,3-dipolar addition of an acute-angled norbornene with a, hexacyclic bis-epoxide.

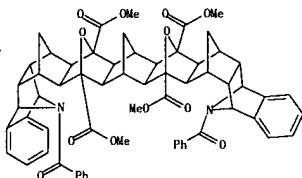
IT 332402-04-7P 332402-07-0P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and syn- and anti-azatropoisomers in polyazanorbornanes containing two N-benzoyl-7-azanorbornane units)

RN 332402-04-7 CAPLUS
 CN Pentacene-5, 14:6, 13:7, 12-triimine-6, 13-dicarboxylic acid, 15, 17-dibenzoyl-5a, 6a, 7, 12, 12a, 13a, 14-octahydro-16-(phenylmethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RN 332402-07-0 CAPLUS
 CN 7, 20:9, 18-Diepoxy-6, 21:8, 19:10, 17-trimethanononacene-5, 22:11, 16-diimine-7, 9, 18, 20-tetracarboxylic acid, 23, 29-dibenzoyl-5, 5a, 6, 6a, 7a, 8, 8a, 9a, 10, 10a, 11, 16, 16a, 17, 17a, 18a, 19, 19a, 20a, 21, 21a, 22-docosahydro-, tetramethyl ester, (5a, 5a β , 6 β , 6a β , 7, bepha, 7a β , 8 β , 8a β , 9 β , 9a β , 10 β , 10a β , 11, a1ph, 16a, 16a β , 17b, 17a β , 18b, 18a β , 19b, 19a β , 20b, 20a β , 21b, 21a β , 22a)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 ACCESSION NUMBER: 2000:651372 CAPLUS
 DOCUMENT NUMBER: 133:343790
 TITLE: Uranium hexakisimido complexes
 AUTHOR(S): Meyer, Karsten; Mindiola, Daniel J.; Baker, Thomas A.; Davis, William M.; Cummings, Christopher C.
 CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139-4307, USA
 SOURCE: Angewandte Chemie, International Edition (2000), 39(17), 3033-3038
 PUBLISHER: Wiley-VCH Verlag GmbH
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:343790
 ABSTRACT:

The hexakisimidouranium(V) anion $[\text{U}(\text{dbabb})_6]^-$ (1, Hdbabb = 2,3:5,6-dibenzo-7-azabicyclo[2.2.1]hepta-2,5-diene) and its homoleptic one-electron oxidation uranium(VI) product $[\text{U}(\text{dbabb})_6]^{2-}$ (2) were prepared and isolated. Oxidation of 1 is observed in an electrochem. redox couple, or chemical in air or with an oxidizing agent such as silver triflate. Complex 2 can be chemical reduced to anion 1. The crystal structures of $[\text{PPH}_4]^+$ and 2 were determined by x-ray crystallog. The six amino nitrogen atoms in both species form a near-perfect octahedron around the uranium.

IT 303962-98-3P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)

RN 303962-98-3 CAPLUS
 CN Phosphonium, (tetraphenyl-, (OC-6-11)-hexakis(9, 10-dihydroanthracen-9, 10-imin-11-yl)uranate(1-)) (9CI) (CA INDEX NAME)

CM 1

CRN 303962-96-1
 CMF C84 H60 N6 U
 CCI CCS

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 18198-39-5
 CMF C24 H20 P

Ph
 Ph- $\ddot{\text{P}}^+(\text{Ph})_2$ -Ph
 Ph

IT 303962-97-2P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 303962-97-2 CAPLUS
 CN Erbiumminium, N,N,N-triethyl-, (OC-6-11)-hexakis(9, 10-dihydroanthracen-9, 10-imin-11-yl)uranate(1-) (9CI) (CA INDEX NAME)

CM 1

CRN 303962-96-1
 CMF C84 H60 N6 U
 CCI CCS

L4 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 66-40-0
CMF C8 H20 N

IT 303962-95-0P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation, low-temperature X-band ESR, and oxidation to uranium(VI) hexakisamido derivative)

RN 303962-95-0 CAPLUS

CN Uranoate(1-), hexakis(anthracen-9,10-imino)-, (OC-6-11)-, lithium, compd. with tetrahydrofuran (9Cl) (CA INDEX NAME)

CM 1

CRN 303962-94-9
CMF C8 H20 N6 U . Li
CC1 CCS

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 109-99-9
CMF C4 H8 O

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000-142717 CAPLUS

DOCUMENT NUMBER: 132:278781

TITLE: In-line proximity effects in extended

7-azanorbornanes. I. A new concept for modifying effector group separation based on the control of N-invertomer geometry

AUTHOR(S): Butler, Douglas N.; Hammond, Malcolm L. A.; Johnston, Martin R.; Sun, Guangxing; Walpass, John R.; Fawcett, John; Warrener, Ronald N.

CORPORATE SOURCE: Centre for Molecular Architecture, Central Queensland University, Rockhampton, 4702, Australia

SOURCE: Organic Letters (2000), 2(6), 721-724

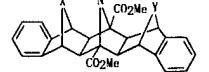
CODEN: ORLEFT; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GRAPHIC IMAGE:



ABSTRACT:

Control of N-substituent geometry in fused 7-azanorbornane systems is based on the dominance of one proximate bridge (sentinel X) over the other (sentinel Y) relative to the N-bridge (e.g., I; X,Y = CH₂, spirocyclopropyl, C:CO₂Me, CO₂CH₂Ph, O); the N-inversion equilibrium can effectively be displaced in favor of a single invertomer. This study has used a combination of synthesis, crystallogr., and mol. modeling to establish stereostructures.

IT 263411-75-2P

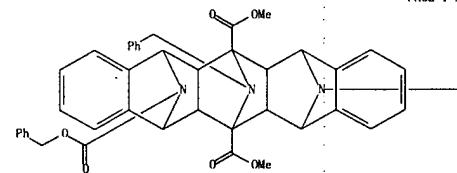
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); (preparation and stereostructures of N-bridged [3]poly norbornanes)

RN 263411-75-2 CAPLUS

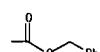
CN Pentacene-5,14'6,13:7,12-triimine-6,13,15,17-tetracarboxylic acid, 5,5a,6a,7,12,12a,13a,14-octahydro-16-(phenylmethyl)-, 6,13-dimethyl 15,17-bis(phenylmethyl) ester, (5a,5aP,6a,6aP,7,alph a,12a,12aP,13a,13aP,14a)- (9Cl) (CA INDEX NAME)

L4 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999-321286 CAPLUS

DOCUMENT NUMBER: 131:116165

TITLE: Molecular topology: the synthesis of a new class of rigid arc-shaped spacer molecules based on syn-facially fused norbornanes and 7-heteronorbornanes in which heterobridges are used to govern backbone curvature

AUTHOR(S): Warrener, Ronald N.; Margetic, Davor; Sun, Guangxing; Arasascaka, Amanda S.; Foley, Patrick; Butler, Richard A.

CORPORATE SOURCE: Centre for Molecular Architecture, Central Queensland University, Rockhampton, 4702, Australia

SOURCE: Tetrahedron Letters (1999), 40(21), 4111-4114

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

ABSTRACT:

The reaction of norbornadienes and 7-heteronorbornadienes with 7-oxa (or carba oraza) norbornene-fused cyclobutene epoxides (or aziridines) gave hetero-bridged polynorbornane cycloadducts containing syn-facially arranged N,O (or C,N or C,O) bridges. New dual cyclobutene epoxides and dual cyclobutene aziridines are used to prepare multi-fused norbornanes having curved topo. in which the heteroatoms modify the curvature in a predictable way C>N>O; AMI modeling of representative [9]polynorbornanes is presented.

IT 233609-79-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); (preparation and mol. topol. of arc-shaped spacer mols. based on syn-facially fused norbornanes and heteronorbornanes in which heterobridges govern backbone curvature)

RN 233609-79-5 CAPLUS

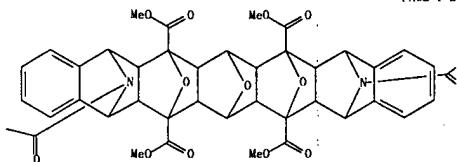
CN 6,17-7,16:8,15-Triepoxyheptacene-5,18:9,14-dimine-6,8,15,17,19,23-hexacarboxylic acid, 5,5a,6a,7,7a,8a,9,14,14a,15a,16,16a,17a,18-tetradecahydro-, 6,8,15,17-tetramethyl 19,23-bis(phenylmethyl) ester, (5a,5aP,6a,6aP,7u,7aP,8u,8aP,9u,14a,14aP,15a,15aP,16a,16aP,17,alph a,17aP,18a)- (9Cl) (CA INDEX NAME)

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L4 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

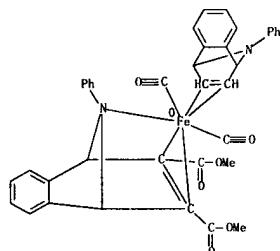
PAGE 1-B



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REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:551313 CAPLUS
DOCUMENT NUMBER: 129:245259TITLE: Synthesis and crystal structure of (*n*₂-olefin-(*N*-phenyl-2,3-dicarbomethoxy-7-azabenzonorbornadiene)Fe(CO)₂ complex
AUTHOR(S): Sun, Chia-Hsing; Wu, Shiao-Yu; Liou, Lin-Shu; Wang, Ju-Chun
CORPORATE SOURCE: Department of Chemistry, Soochow University, Taipei, 111, Taiwan
SOURCE: Journal of the Chinese Chemical Society (Taipei) (1998), 45(4), 563-567
PUBLISHER: Chinese Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:245259ABSTRACT:
The title compds. (olefin = di-Me fumarate, di-Me maleate, maleic anhydride, *N*-Ph maleimide, *N*-phenyl-2,3-dicarbomethoxy-7-azabenzonorbornadiene)Fe(CO)₂ I with the corresponding alkenes as stable solids in moderate (46-71%) yields. X-ray structure determination of 2c shows a distorted trigonal bipyramidal geometry with two cross Fe-coordinated olefins. 2A-f represent a new type of (*n*₂-monolefin)2(R₃N)Fe(CO)₂.IT 212956-33-7P
RL: SPN (Synthetic preparation): PREP (Preparation)
SUB: Preparation of (alkene)(azabenzonorbornadiene)iron complexes by photochem. substitution reaction or iron tricarbonyl complex with alkenesRN 212956-33-7 CAPLUS
CN iron, dicarbonyl[(2,3-*n*)-1,4-dihydro-9-phenylnaphthalen-1,4-imine][rel-(2,3-*n*)-dimethyl (1, R, 4S)-1,4-dihydro-9-phenylnaphthalen-1,4-imine-2,3-dicarboxylate-*n*N9]-, stereoisomer (9CI) (CA INDEX NAME)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:376545 CAPLUS
DOCUMENT NUMBER: 129:95424

TITLE: Building BLOCKs in synthesis. Part 4. A 1,3-dipolar cyclodaddition route to 7-azanorbornanes. Application to the synthesis of syn-facial N-bridged polynorbornanes

AUTHOR(S): Butler, Douglas N.; Malpass, John R.; Margetic, Davor; Russell, Richard A.; Sun, Guang Xing; Warrener, Ronald N.

CORPORATE SOURCE: Center Molecular Architecture, Central Queensland University, Rockhampton, 4702, Australia

SOURCE: Synlett (1998), (6), 589-599
CODEN: SYNLTE; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:95424

ABSTRACT:
Aziridinocyclobutenes react with electron-deficient or ring-strained alkenes to produce 7-azanorbornenes in a novel 1,3-dipolar cycloaddn. reaction suitable for BLOCK assembly protocols. Benzo-7-azanorbornadiene and 7-hetero-bridged analogs react stereoselectively to produce compds. with syn-facial orientation of their bridges.

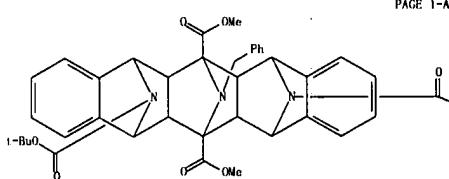
IT 209674-68-0P 209674-70-4P

RL: SPN (Synthetic preparation): PREP (Preparation)
SUB: Preparation of N-bridged polynorbornanes by dipolar cycloaddn. via aziridinocyclobutenes

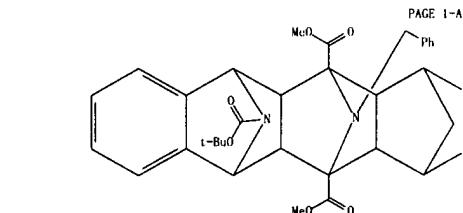
RN 209674-68-0 CAPLUS

CN Pentacyclic-5,14(6,13)-7,12-triimine-6,13,15,17-tetracarboxylic acid, 5,5a,6a,7,7a,8a,9,14,14a,15a,16,16a,17a,18-hexacarboxylic acid, 5,5a,6a,7,7a,8a,9,14,14a,15a,16,16a,17a,18-tetradehydro-20,22-bis(phenylmethyl)-, 19,23-bis(1,1-dimethylethyl) 6,8,15,17-tetramethyl ester, (5a,5aP,6a,6aP,7a,7aP,8a,8aP,9a,14a,14aP,15a,15a,16a,16aP,17a,17aP,18a,18aP)- (9CI) (CA INDEX NAME)

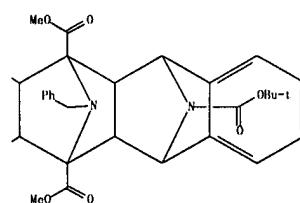
PAGE 1-A



PAGE 1-B

L4 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 7,16-Methanoheptacene-5,18:6,17:8,15:9,14-tetrimine-6,8,15,17,19,23-hexacarboxylic acid, 5,5a,6a,7,7a,8a,9,14,14a,15a,16,16a,17a,18-tetradehydro-20,22-bis(phenylmethyl)-, 19,23-bis(1,1-dimethylethyl) 6,8,15,17-tetramethyl ester, (5a,5aP,6a,6aP,7a,7aP,8a,8aP,9a,14a,14aP,15a,15a,16a,16aP,17a,17aP,18a,18aP)- (9CI) (CA INDEX NAME)

PAGE 1-B



-ORu-1

RN 209674-70-4 CAPLUS

L4 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:308815 CAPLUS

DOCUMENT NUMBER: 129:27875

TITLE: Novel 'windscreen wiper' cavity structures formed by the cycloaddition of N-substituted isoindoles onto molrac bis-alkenes

AUTHOR(S): Malpass, John R.; Sun, Guangxing; Fawcett, John; Warrener, Ronald N.
CORPORATE SOURCE: Centre Mol. Architecture, Central Queensland Univ., Rockhampton, 4702, Australia
SOURCE: Tetrahedron Letters (1998), 39(19), 3083-3086
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:27875

ABSTRACT: A strong N-substituent effect is observed in the reaction of isoindole with cyclobutene-1,2-diesters. N-alkoxycarbonyl derivs. react to form adducts with mono-frame stereostructures; N-alkylisoindoles produce both extended-frame (stable) and bent-frame (unstable) stereoisomers, but require high-pressure conditions (10-15 kbar); N-acyl isoindoles fail to react. The first 'windscreen wiper' N-bridged cavity compound was prepared, the structure of which was confirmed by x-ray anal.

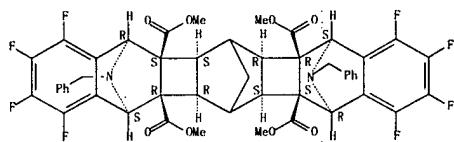
IT 208117-32-2P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of windscreen wiper cavity structures by cycloaddn. of N-substituted isoindoles onto molrac bis-alkenes)

RN 208117-32-2 CAPLUS

CN 5,13-Methanobenzo[b]naphtho[2',3':3,4]cyclobuta[1,2-h]biphenylene-5,14:7,12-dimine-5a,6a,12a,13b-tetracarboxylic acid, 1,2,3,4,8,9,10,11-octadfluoro-5,5b,6,6a,7,12,12b,13,13a,14-decahydro-15,17-bis(phenylmethyl)-rel-1,4-tetramethyl ester, (5R,5aS,5bS,6aR,6bR,7S,12R,12aS,12bS,13aR,13bR,14S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:496282 CAPLUS

DOCUMENT NUMBER: 123:227683

TITLE: [2+2] Dimerization of norbornadiene and its derivatives in the presence of nickel complexes and zinc metal

AUTHOR(S): Huang, Daw-Jen; Cheng, Chien-Hong
CORPORATE SOURCE: Department of Chemistry, National Tsing Hua University, Hsinchu, 300, Taiwan
SOURCE: Journal of Organometallic Chemistry (1995), 490(1-2), C1-C7
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:227683ABSTRACT: Norbornadiene undergoes [2+2] reaction in THF in the presence of NiX₂ and Zn powder to give an exo-trans-exo dimer and an exo-trans-exo-trans-exo trimer. In these products, the norbornadiene molcs. are linked to each other by forming cyclobutane rings with all cyclobutene carbons occupying exo positions relative to the bridging carbons on the two norbornadiene fragments. Polymerization of norbornadiene occurs if the catalyst NiX₂ is replaced by Ni(Ph₃)₂C12; 1,4-dihydro-1,4-epoxynaphthalene, 5-methoxy-1,4-dihydro-1,4-epoxynaphthalene and Me 1,4-dihydro-1,4-epoxynaphthalene-5-carboxylate also dimerize to give exo-trans-exo products in excellent yields in toluene in the presence of Ni(Ph₃)₂C12 and Zn powder. For the dimerization products of 5-methoxy-1,4-dihydro-1,4-epoxynaphthalene, cis and trans isomers with respect to the orientation of methoxy groups in about 1:1 ratio were observed. Under similar reaction conditions for the polymerization of norbornadiene, norbornene undergoes reductive dimerization to afford a product which consists of two norbornyl groups. The structure of this product is also exo-trans-exo. NiBr₂, Zn powder and norbornadiene were stirred at 50° for 72 h to give both the dimer and trimer.

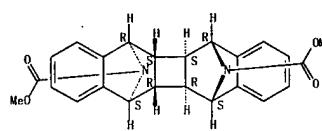
IT 168297-14-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (dimerization of norbornadiene and its derivs. in the presence of nickel complexes and zinc metal)

RN 168297-14-1 CAPLUS

CN Dibenzob[b,h]biphenylene-5,12:6,11-dimine-13,14-dicarboxylic acid, 5,5a,5b,6,6a,11a,11b,12-octahydro-, dimethyl ester, (5a,5b,5bS,6,11a,11b,11bS,12a)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:492840 CAPLUS

DOCUMENT NUMBER: 109:92840

TITLE: Tetralahbenzenes as diaryne equivalents in polycyclic arene synthesis

AUTHOR(S): Hart, Harold; Lai, Chung Yin; Nwokogu, Godson
Chukwuemeka; Shamsoulian, Shamsouil
CORPORATE SOURCE: Dep. Chem., Michigan State Univ., East Lansing, MI, 48823, USA
SOURCE: Tetrahedron (1987), 43(22), 5203-24
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:92840

ABSTRACT:

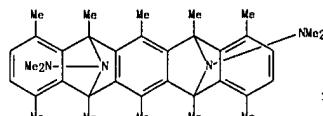
1,2,4,5-Tetralahbenzenes and analogous naphthalenes react with one or two equivalents of Buli and various dienes (furans, pyrroles, cyclopentadienes, fulvenes) to form mono- or bis-cycloadducts. Highly substituted arenes can be obtained by removing the O or N bridges from the furan or pyrrole adducts. By choice of conditions, two identical or two different rings can be fused to the di-aryne equivalent. Improved short synthesis of permethylaphthalene, -anthracene and -naphthacene are described. A new triphenylene synthesis is presented.

IT 115711-02-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 115711-02-9 CAPLUS

CN Pentacene-5,14:7,12-dimine-15,16-diamine, 5,7,12,14-tetrahydro-N,N,N',N'-1,4,5,6,7,8,11,12,13,14-tetradecamethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:94433 CAPLUS

DOCUMENT NUMBER: 108:94433

TITLE: anti-5,10,15-Bis(tert-butylimino)-1,2,3,4,11,12,13,14-octamethyl-5,10,15,16-tetrahydrobenzo[h]pentaphene

AUTHOR(S): Preut, H.; Hildebrand, T.; Kreher, R. P.
CORPORATE SOURCE: Fachbereich Chem., Univ. Dortmund, Dortmund, D-4600/50, Fed. Rep. Ger.

SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (1988), C44(1), 203-5

DOCUMENT TYPE: Journal
LANGUAGE: English

ABSTRACT:

The title compound is triclinic, space group Phinv. I, with a 11.701(9), b 11.796(15), c 15.538(8) Å, α 69.12(7), β 62.27(7), and γ 67.15(7) $^\circ$: $dc = 1.133$ for $Z = 2$. The final $R = 0.062$ for 3466 reflections. Atomic coordinates are given. The constitution and configuration of the hitherto unknown Diels-Alder adduct of 2,5-di-tert-butyl-2,5-dihydrobenzo[e]pyrrole[3,4-g]isoindole with 3,4,5,6-tetramethyl-1,2-dehydrobenzene was elucidated via the crystal structure anal. The tert-Bu groups of the annulated cyclic compound are in anti position. The perpendicular to the plane of the naphthalene ring and the direction through the position of the N and the central C of the tert-Bu groups are nearly parallel and therefore there is ample space at the N atoms for the free electron pairs.

IT 111558-06-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)

RN 111558-06-6 CAPLUS

CN Benzo[h]pentaphene-5,16:10,15-diamine, 17,18-bis(1,1-dimethylethyl)-5,10,15,16-tetrahydro-1,2,3,4,11,12,13,14-octamethyl- (5a,10b,15b,16a)- (9CI) (CA INDEX NAME)

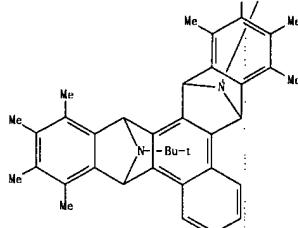
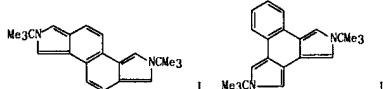
PAGE 1-A



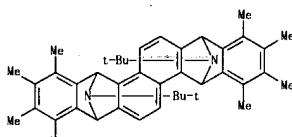
L4 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

PAGE 2-A

L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:55823 CAPLUS
DOCUMENT NUMBER: 108:55823TITLE: Structure and reactivity of isoannulated heterocyclic systems with $4n\pi$ - and $(4n+2)\pi$ -electrons. 13. Annulated isoindole with 18 π -electrons
AUTHOR(S): Kreher, Richard P.; Hildebrand, Thomas
CORPORATE SOURCE: Univ. Dortmund, Dortmund, D-4600, Fed. Rep. Ger.
SOURCE: Angewandte Chemie (1987), 99(12), 1325-7
DOCUMENT TYPE: CODEN: ANCEAD; ISSN: 0044-8249
LANGUAGE: Journal
OTHER SOURCE(S): German
GRAPHIC IMAGE: CASREACT 108:55823

ABSTRACT: Heterarenes I and II were prepared in 65% and 51% yields, resp. in several conventional synthetic steps from 2,6-dimethylnaphthalene and 1,2,3,4-tetramethylnaphthalene, resp.

IT 111558-05-5P 111558-06-6P
RL: SPN (Properties); SPN (Synthetic preparation); PREP (Preparation)
preparation and spectra of
RN 111558-05-5 CAPLUS
CN Dibenzo[b,k]chrysene-5,16:8,13-dimine, 17,18-bis(1,1-dimethylethyl)-5,8,13,16-tetrahydro-1,2,3,4,9,10,11,12-octamethyl-, (5a, 8b, 13b, 16a)- (9CI) (CA INDEX NAME)RN 111558-06-6 CAPLUS
CN Benzo[h]pentaphene-5,16:10,15-dimine, 17,18-bis(1,1-dimethylethyl)-5,10,15,16-tetrahydro-1,2,3,4,11,12,13,14-octamethyl-, (5a, 10b, 15b, 16a)- (9CI) (CA INDEX NAME)

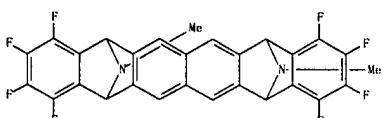
L4 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A

L4 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:471031 CAPLUS
DOCUMENT NUMBER: 103:71031TITLE: Twin benzannulation of naphthalene via 1,3-, 1,6-, and 2,6-naphthadiyne synthetic equivalents. New syntheses of triphenylene, benz[a]anthracene, and naphthacene
AUTHOR(S): Gribble, Gordon W.; Perni, Robert B.; Onan, Kay D.
CORPORATE SOURCE: Dep. Chem., Dartmouth Coll., Hanover, NH, 03755, USA
SOURCE: Journal of Organic Chemistry (1985), 50(16), 2934-9
DOCUMENT TYPE: CODEN: JOCEAH; ISSN: 0022-3263
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:71031
GRAPHIC IMAGE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

ABSTRACT: New syntheses of triphenylene (I, R = H), benz[a]anthracene (II), naphthacene (III, R1 = H), and the tetramethylated derivs. I (R = Me) and III (R1 = Me), are described that feature, as the key step, the formal Diels-Alder cyclodaddn. between a naphthadiyne equivalent, e.g., dibromoditsylate IV (Ts = p-tolylsulfonyl) and a furan. Subsequent deoxygenation affords the arene in 16-28% overall yield from dibromo ditosylates. The latter are prepared in two steps from com. available 2,3- or 1,4-dihydroxynaphthalene, and, with PhLi, serve as synthetic equivs. of naphthadiynes. The x-ray structure of the anti isomer of V is discussed in some detail.

IT 96065-77-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 96065-77-4 CAPLUS
CN Hexacene-5,16:8,13-dimine, 1,2,3,4,9,10,11,12-octafluoro-5,8,13,16-tetrahydro-17,18-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1984:630302 CAPLUS

DOCUMENT NUMBER: 101:230302

TITLE: Structure and reactivity of isoannulated heterocyclic systems with $4n$ and $(4n + 2)\pi$ electrons. Part 10. Oligocyclic heteroaromatics with quinoid structure: synthesis by sequential cyclic condensationAUTHOR(S): Kreher, Richard P.; Pfister, Juergen
CORPORATE SOURCE: Univ. Dortmund, Dortmund, D-4600/50, Fed. Rep. Ger.

SOURCE: Angewandte Chemie (1984), 96(11), 906-7

CODEN: ANEAD: ISSN: 0044-8249

DOCUMENT TYPE: Journal

LANGUAGE: German

GRAPHIC IMAGE: For diagram(s), see printed CA Issue.

ABSTRACT:

Oligocyclic heteroaromatics with quinoid structures were prepared

Pyrolyzed carboxaldehydes I ($R = \text{COMe}$, CH_2Ph) cyclocondensed with 1,4-cyclohexadiene to give 45-50% primary condensation products II which condensed with IV to give 90-95% isoindoles III or with 1,4-cyclohexanecarboxaldehydes IV ($X = \text{H}_2$, $\text{CH}_2\text{CH}_2\text{CH}_2$, $\text{O}-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{OH}$) to give 40-60% V. I ($R = \text{COMe}$) and 1,4-naphthalenediol gave 75% VI. III, V, and VI reacted with MeO_2CCl_2 , $\text{tibond}_2\text{CO}_2\text{Me}$ to give the corresponding cycloadducts.

IT 92763-87-6P 92843-01-1P

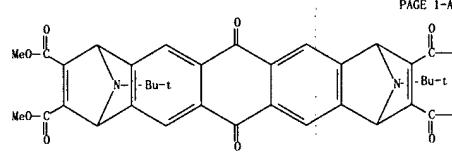
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 92763-87-6 CAPLUS

CN Pentacene-1,4:8,11-diimine-2,3,9,10-tetracarboxylic acid, 15,16-bis(1,1-dimethylethyl)-1,4,6,8,11,13-hexahydro-6,13-dioxo-, tetramethyl ester, (1a,4a,8b,11b) - (GCI) (CA INDEX NAME)

NAME)



PAGE 1-B

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RN 92843-01-1 CAPLUS

CN Pentacene-1,4:8,11-diimine-2,3,9,10-tetracarboxylic acid.

L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:197743 CAPLUS

DOCUMENT NUMBER: 98:197743

TITLE: Twin annulation of naphthalene via a 1,5-naphthodiyne synthon. New syntheses of chrysene and dibenzo[b,k]chrysene

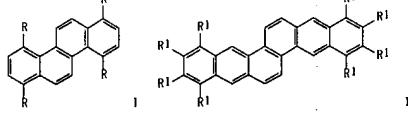
AUTHOR(S): LeHoullier, Craig S.; Gribble, Gordon W.
CORPORATE SOURCE: Dep. Chem., Dartmouth Coll., Hanover, NH 03755, USA
SOURCE: Journal of Organic Chemistry (1983), 48(10), 1682-5
CODEN: JOCEAH: ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:197743

GRAPHIC IMAGE:



ABSTRACT:

Now, efficient syntheses of chrysene I ($R = \text{H}, \text{Me}$) and dibenzo[b,k]chrysene II ($R = \text{H}, \text{F}$) featured the formal cycloaddition between 1,5-naphthodiyne (III) and a heterocyclic diene such as furan, pyrroles, and isoindoles as the key step. Subsequent manipulation afforded 26-49% I, or II overall from 2,6-dibromo-1,5-dihydroxynaphthalene. The latter was easily converted to ditosylate, which, with PhLi, served as a synthon for III.

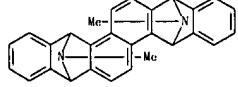
IT 85337-36-6P 85337-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oxidative deamination of)

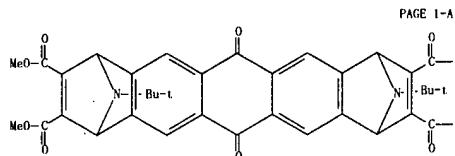
RN 85337-36-6 CAPLUS

CN Dibenzo[b,k]chrysene-5,16:8,13-diimine, 5,8,13,16-tetrahydro-17,18-dimethyl- (GCI) (CA INDEX NAME)



RN 85337-37-7 CAPLUS

CN Dibenzo[b,k]chrysene-5,16:8,13-diimine, 1,2,3,4,9,10,11,12-octafluoro-5,8,13,16-tetrahydro-17,18-dimethyl- (GCI) (CA INDEX NAME)

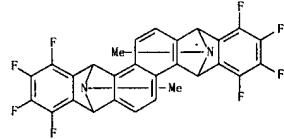
L4 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
15,16-bis(1,1-dimethylethyl)-1,4,6,8,11,13-hexahydro-6,13-dioxo-, tetramethyl ester, (1a,4a,8a,11a) - (GCI) (CA INDEX NAME)

PAGE 1-B

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L4 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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Page 14

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L5      51 SEA FILE=CAPLUS ABB=ON PLU=ON ("BUSCH PETERSEN J"/AU OR
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          DRAMANE I"/AU OR "LAINE DRAMANE IBRAHIM"/AU)
L8      18 SEA FILE=CAPLUS ABB=ON PLU=ON ("MCCLELAND BRENT"/AU OR
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L9      63 SEA FILE=CAPLUS ABB=ON PLU=ON ("PALOVICH MICHAEL"/AU OR
          "PALOVICH MICHAEL R"/AU OR "PALOVICH MICHAEL ROBERT"/AU)
L10     120 SEA FILE=CAPLUS ABB=ON PLU=ON L5 OR L6 OR L7 OR L8 OR L9
L11     35 SEA FILE=CAPLUS ABB=ON PLU=ON L10 AND MUSCARINIC
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L11 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2007:1170495 CAPLUS
 TI Discovery of novel 8-azoniabicyclo[3.2.1]octane carbamates as muscarinic acetylcholine receptor antagonists
 AU Laine, Dramane I.; Xie, Haibo; Buffet, Noemie; Foley, James J.; Buckley, Peter; Webb, Edward F.; Widdowson, Katherine L.; Palovich, Michael R.; Belmonte, Kristen E.
 CS GlaxoSmithKline, King of Prussia, PA, 19406, USA
 SO Bioorganic & Medicinal Chemistry Letters (2007), 17(22), 6066-6069
 CODEN: BMCLB8; ISSN: 0960-894X
 PB Elsevier Ltd.
 DT Journal
 LA English
 AB In the course of our research program to develop novel muscarinic receptor antagonists for the treatment of COPD, new tropane carbamate derivs. were identified as potent anti-muscarinic agents. The synthesis, structure-activity relationships and pharmacological evaluation that led to the identification of compound 5a, are described.
 RE. CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2007:200864 CAPLUS

TI Preparation of azoniabicyclo[2.2.1]heptane bromide derivatives as muscarinic acetylcholine receptor antagonists

IN Laine, Dramane I.; Palovich, Michael R.; McClelland, Brent W.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 33pp.

CODEN: PIXXD2

DT Patent

LA English

FAN, CNT

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2007022351 A2 20070222 WO 2006-US32138 20060817

WO 2007022351 A3 20071004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZW, RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI US 2005-7093018

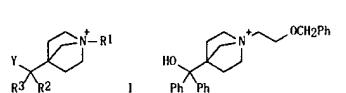
OS MARPAT 146-274232

GI

P 20050818

PR 20050818

GI



AB Title compds. represented by the formula I-X- [wherein Y = OH or CN; R1 = (cyano)alkyl, haloalkyl, alkylaryl, etc.; R2, R3 = independently (un)substituted (hetero)aryl; X- = physiol. acceptable anion] were prepared as muscarinic acetylcholine receptor antagonists. For example, condensation of Et 1-azabicyclo[2.2.1]heptane-4-carboxylate with phenylmagnesium (5M) followed by N-alkylation with 2-bromoethyl phenylmethyle ether (36K, page 11-97). The bioassays for inhibition of muscarinic acetylcholine receptor and formulation-administration were described. Thus, I and their pharmaceutical compns. are useful for the treatment of muscarinic acetylcholine receptor mediated diseases, such as chronic obstructive lung disease (no data).

L11 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2007:174409 CAPLUS
 DN 146:252103
 TI Preparation of amino acid derivatives as M3 muscarinic acetylcholine receptor antagonists
 IN Busch-Petersen, Jakob; Fu, Wei; Jin, Jian; Moore, Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 66pp.
 CODEN: PIXXD2

DT Patent

LA English

FAN, CNT

PATENT NO. KIND DATE APPLICATION NO. DATE

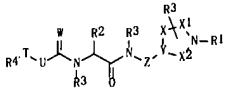
PI WO 2007018514 A1 20070215 WO 2005-US26877 20050728

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZW, RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI WO 2005-US26877

OS MARPAT 146-252103

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AB Amino acid derivs. I [X is C, O; Y is C, N; X1, X2, Z are (CH2)0-2; R1 is H, (un)substituted alkyl, Ph, thiophenyl, furyl, etc.; R2 is methylene, ethylene, or propylene substituted by Ph, thiophenyl, furyl, pyridyl, naphthyl, quinolonyl, indolyl, benzothiophenyl, benzofuranyl, etc.; R3 is H, (un)substituted alkyl, cycloalkyl, Ph, etc.; R4 is (un)substituted alkyl, cycloalkyl, Ph, etc.; U is NR3, O, or a bond; W is O, S, or NH; T is (un)substituted alkyl, Ph, thiophenyl, furyl, pyridyl, naphthyl, quinolonyl, indolyl, benzothiophenyl, or benzofuranyl] were prepared as muscarinic acetylcholine receptor antagonists. Thus, Et 4-[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[(1-[(4-hydroxyphenyl)methyl]-3-pyrrolidinyl)amino]-2-oxoethyl]amino]carbonyl]amino]benzonte was prepared by a multistep procedure in solid phase starting from protected tyrosine.

RE. CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2007:174402 CAPLUS
 DN 146:252102

TI Preparation of amino acid derivatives as M3 muscarinic acetylcholine receptor antagonists
 IN Busch-Petersen, Jakob; Fu, Wei; Jin, Jian; Moore, Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng; Wang, Yonghui
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 100pp.
 CODEN: PIXXD2

DT Patent

LA English

FAN, CNT

PATENT NO. KIND DATE APPLICATION NO. DATE

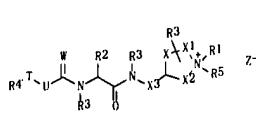
PI WO 2007018508 A1 20070215 WO 2005-US26756 20050728

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, RZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI WO 2005-US26756

OS MARPAT 146-252102

GI



AB Amino acid derivs. I [X is C, O; X1, X2, X3 are (CH2)0-2; R1 is H, (un)substituted alkyl, Ph, thiophenyl, furyl, etc.; R2 is methylene, ethylene, or propylene substituted by Ph, thiophenyl, furyl, pyridyl, naphthyl, quinolonyl, indolyl, benzothiophenyl, benzofuranyl, etc.; R3 is H, (un)substituted alkyl, cycloalkyl, Ph, etc.; R4, R5 are (un)substituted alkyl, cycloalkyl, Ph, etc.; U is NR3, O, or a bond; W is O, S, or NH; T is (un)substituted alkyl, Ph, thiophenyl, furyl, pyridyl, naphthyl, quinolonyl, indolyl, benzothiophenyl, or benzofuranyl] were prepared as muscarinic acetylcholine receptor antagonists. Thus, N-[(1-[(4-hydroxycarbonyl)phenyl]amino)carbonyl]-N-(3S)-1-[(4-hydroxyphenyl)methyl]-1-methyl-3-pyrrolidinylmethyl-1-tirosinamide trifluoracetate was prepared by a multistep procedure in solid phase starting from protected tyrosine.

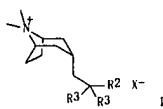
RE. CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2007:146107 CAPLUS
 DN 146:229203
 TI Preparation of azoniacyclooctanes as M3 muscarinic acetylcholine receptor antagonists.
 IN Busch-Petersen, Jakob; Laine, Dramane Ibrahim; Palovich, Michael R.; Davis, Roderick S.; Fu, Wei; Xie, Haibo
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 42pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007016639	A2	20070208	WO 2006-US30153	20060802
WO 2007016639	A3	20070705		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, KG, KZ, ND, RU, TJ, TM, AP, EA, EP, OA			
PRAI US 2005-704579P	P	20050802		

OS MARPAT 146:229203
 GI

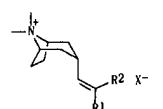


AB Title compds. [I; R1, R2 = (substituted) Ph, thieryl, pyridyl, PhCH2, pyrimidinyl, thiazolyl, isothiazolyl, cycloalkyl, etc.; R3 = OH, X = physiol. acceptable anion] were prepared for treatment of chronic obstructive pulmonary disease, chronic bronchitis, chronic respiratory obstruction, pulmonary fibrosis, emphysema, and allergic rhinitis (no data). Thus, 2-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]-1-bis(3-methyl-2-thienyl)ethanol (preparation given) was treated with MeBr in tert-Bu Me ether to give 61% (3-endo)-3-[2-hydroxy-2,2-bis(3-methyl-2-thienyl)ethyl]-8,8-dimethyl-8-azoniacyclo[3.2.1]octane bromide.

L11 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2007:144089 CAPLUS
 DN 146:229182
 TI Preparation of 3-(arylethényl)-8,8-dimethyl-8-azoniacyclo[3.3.1]octanes as M3 muscarinic acetylcholine receptor antagonists.
 IN Busch-Petersen, Jakob; Laine, Dramane Ibrahim; Palovich, Michael R.; Davis, Roderick S.; Fu, Wei; Xie, Haibo
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 35pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007016650	A2	20070208	WO 2006-US30218	20060802
WO 2007016650	A3	20070531		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, KG, KZ, ND, RU, TJ, TM, AP, EA, EP, OA			
PRAI US 2005-704579P	P	20050802		

OS MARPAT 146:229182
 GI



AB Title compds. [I; R1, R2 = (substituted) Ph, thieryl, pyridyl, PhCH2, pyrimidinyl, thiazolyl, isothiazolyl, cycloalkyl, etc.; X = pharmaceutically acceptable counterion] were prepared for treatment of COPD, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, emphysema, and allergic rhinitis (no data). Thus, (endo)-3-[2,2-bis(3-hydroxyphenyl)ethenyl]-8,8-dimethyl-8-azoniacyclo[3.2.1]octane bromide was prepared from tri- ω -phosphonoacetate, tropinone, MeI, and 3-methoxyphenylmagnesium bromide.

L11 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:972157 CAPLUS
 DN 145:328769
 TI Fluorescent styryl dyes FM1-43 and FM2-10 are muscarinic receptor antagonists: intravital visualization of receptor occupancy
 AU Mazzone, Stuart B.; Mori, Nanako; Burman, Miriam; Palovich, Michael; Belmonte, Kristen E.; Canning, Brendan J.

CS The Howard Florey Institute, University of Melbourne, Victoria, 3010, Australia

SO Journal of Physiology (Oxford, United Kingdom) (2006), 575(1), 23-35

CODEN: JPHVAT; ISSN: 0022-3751

PR Blackwell Publishing Ltd.

DT Journal

LA English

AB The fluorescent styryl dyes FM1-43 and FM2-10 have been used to visualize the endocytic and exocytic processes involved in neurotransmission in a variety of central and peripheral nerve preps. Their utility is limited to some extent by a poorly understood vesicular-independent labeling of cells and tissues. We show here that one likely cause of this troublesome background labeling is that FM1-43 and FM2-10 are selective and competitive antagonists at both cloned and endogenously expressed muscarinic acetylcholine receptors. In radioligand binding studies, FM1-43 and FM2-10 bound with moderate affinity (23-220 nM) to membranes of Chinese hamster ovary (CHO) cells expressing cloned human muscarinic receptors (M1-M5). In functional studies in vitro, FM1-43 and FM2-10 inhibited elec. field stimulation (EFS) and acetylcholine-induced cholinergic contractions of guinea-pig tracheal strips (IC50: FM1-43, 4.520 μ M; FM2-10, 6.210 μ M) at a concentration of 100 nM, resulting a 24- and 16-fold shift in the acetylcholine concentration-response curve (IC50: FM1-43, 0.3 \pm 0.1; FM2-10, 15.8 \pm 10.1 μ M). Neither compound inhibited EFS-evoked, non-adrenergic, non-cholinergic nerve-mediated relaxations or contractions of the airways, or contractions mediated by histamine H1 receptor or inchnykin NK2 receptor activation. Incubating freshly excised tracheal whole-mount preps. with 5 μ M FM1-43 resulted in intense fluorescence labeling of the smooth muscle that was reduced by up to 90% in the presence of selective M2 and M3 receptor antagonists. The potency of the FM dyes as muscarinic receptor antagonists is within the concentration range used to study vesicular cycling at nerve terminals. Given that muscarinic receptors play a key role in the regulation of neurotransmitter release from a variety of neurons, the anticholinergic properties of FM dyes may have important implications when studying vesicular events in the nervous system. In addition, these dyes may provide a novel tool for visualizing muscarinic receptor occupancy in living tissue or cell preps.

RE. CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:608671 CAPLUS
 DN 145:83655
 TI Preparation of fused heteroaromatic quaternary ammonium salt amino acid derivatives as novel muscarinic acetylcholine receptor antagonists
 IN Busch-Petersen, Jakob; Davis, Roderick S.; Fu, Wei; Jin, Jian; Laine, Dramane I.; Palovich, Michael R.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006065755	A2	20060622	WO 2005-US44951	20051213
WO 2006065755	A3	20060612		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, KG, KZ, ND, RU, TJ, TM, AP, EA, EP, OA			
PRAI US 2004-635664P	P	20041213		

OS MARPAT 145:83655
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to amino acid heteroarom. derivs. I [Y is S, O or NR4 (R4 is H, alkyl, allyl); X, Z are N or CR5 (R5 is H, alkyl, alkenyl, halo, NR4, OR4, CN, NO2, CF3)], provided that N \neq 2 for X and \neq 3 for Z; n = 0-3; A = halo, CF3CO2-, mesylate, tosylate, etc.; R1, R2 are (un)substituted alkyl, cycloalkyl, Ph, etc.; T is (a) a 5-10 membered heteroarom. ring, e.g. isothiazole, pyrrole, imidazole, pyrazole, or Ph; R3 is acyl, carbamate ester, sulfonyloxy, sulfonylaminio, carbonyl, etc.] for use in treating muscarinic acetylcholine receptor-mediated diseases. Thus, imidothiazolium tyrosinamide derivative II was prepared by a multistep sequence involving reaction of 2-methylimidazo[2,1-b][1,3]thiazole-6-methanamine (preparation given) on DMAP resin with Fmoc-Tyr(Bu-1)-OH (Fmoc = fluoronylmethoxycarbonyl).

L11 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:605213 CAPLUS
 DN 145:76661

TI Muscarinic acetylcholine receptor antagonists useful in the treatment of asthma, pulmonary diseases and other diseases of respiratory tract
 IN Busch-Petersen, Jakob; Davis, Roderick S.; Fu, Wei; Jin, Jian; Laine, Dramane I.; Palovich, Michael R.
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
 P1 WO 2006065798 A2 20060622 2005-US45012 20051213
 WO 200605798 A3 20060817
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 PRAI US 2004-635703P P 20041213
 OS MARPAT 145:76661

AB The invention discloses muscarinic acetylcholine receptor antagonists R3TNHC(O)NHC(CH2R1)C(O)(R4)(CH2)nCYC [CVC = Q1, Q2; Y = S, O, NR4; X = Z, CR5 (with provisions); Z = N, CR5 (with provisions); n = 0-3; R1 = (un)branched C1-8 alkyl, etc.; T = thiophene, furan, thiazole, etc.; R3 = COR6, COR8, DS02R6, etc.; R4 = H, C1-3 alkyl, allyl; R5= H, C1-3 alkyl, halo, etc.; R6 = (un)substituted (un)branched C1-8 alkyl, C3-12 cycloalkyl, Ph, etc.] useful in treatment of respiratory tract diseases, including asthma, allergic rhinitis, pulmonary fibrosis and others.

L11 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:578252 CAPLUS
 DN 145:55947

TI Muscarinic antagonists for the treatment of respiratory diseases
 IN Laine, Dramane Ibrahim; Palovich, Michael R.
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 21 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
 P1 WO 2006062883 A2 20060615 2005-US43875 20051205
 WO 2006062883 A3 20070329
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 PRAI US 2004-633669P P 20041206
 OS MARPAT 145:55947

AB This invention relates to derivs. of 8-azoniabicyclo[3.2.1]octane, pharmaceutical compositions in combination with one or more other therapeutic ingredients, such as P2-adrenoreceptor agonists, antihistamines, allergy inhibitors, and inflammation inhibitors for the treatment of muscarinic acetylcholine receptor-mediated diseases of the respiratory tract. A claimed combination medication includes (3-endo)-3-(2,2-di-thienylethoxy)-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide, salmeterol xinafoate, and fluticasone propionate.

L11 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:558688 CAPLUS
 DN 145:40272

TI Muscarinic antagonists in combination with P2-adrenoreceptor agonists and/or anti-inflammatories for the treatment of respiratory diseases
 IN Laine, Dramane Ibrahim; Palovich, Michael R.
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
 P1 WO 2006062931 A2 20060615 2005-US44033 20051205
 WO 2006062931 A3 20070419
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EA, EP, OA
 PRAI US 2004-633618P P 20041206

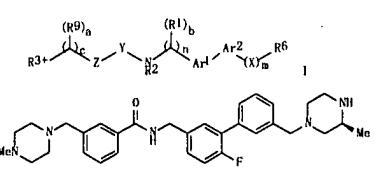
AB This invention relates to a combination of (3-endo)-3-(2,2-di-thienylethoxy)-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide, with one or more other therapeutic ingredients selected from P2-adrenoreceptor agonists and inflammation inhibitors for the treatment of muscarinic acetylcholine receptor-mediated diseases of the respiratory tract. A claimed combination medication includes (3-endo)-3-(2,2-di-thienylethoxy)-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide, salmeterol xinafoate, and fluticasone propionate.

L11 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2006:494266 CAPLUS
 DN 145:8190

TI Preparation of N-[(piperazinylmethyl) biphenyl]benzamide derivatives as M3 muscarinic acetylcholine receptor antagonists
 IN Budzik, Brian; Jin, Jian; Laine, Dramane; McCleland, Brent; Palovich, Michael; Rivero, Ralph; Wang, Yonghui; Xie, Haibo; Zhu, Chongjie; Cooper, Anthony
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 106 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
 P1 WO 2006055553 A2 20060526 2005-US41346 20051115
 WO 2006055553 A3 20060908
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-627986P P 20041115
 OS MARPAT 145:8190
 GI



AB Title compds. I [wherein Ar1, Ar2 = independently (un)substituted Ph or monocyclic heteroaryl; R6 = (un)substituted amine; X = C(R1)p when m = 0-3; X = C=O when m = 1; n = 0-2; c = 0-2; c = 0-3; Y = CO, SO, SO2, HNC(O), or OC(O); Z = (un)substituted (hetero)aryl, alkenyl, alkyl, heterocyclyl, or R9, an independently (un)substituted (cyclo)alkyl, heterocyclyl, or independently (un)substituted containing cyclol; or pharmaceutically acceptable salts thereof] were prepared as M3 muscarinic acetylcholine receptor antagonists. For instance, solid-phase synthesis of I: 4CF3CO2H was realized in an overall yield of 52% via (1) amination of DMAB (2,6-dimethoxy-4-polystyrenebenzoylbenzaldehyde resin-bound 3-bromo-4-fluorobenzylamine with 3-formylbenzoic acid; (2) reductive amination with 1-methylpiperazine; (3) Pd-catalyzed coupling with 3-formylphenylboronic acid; (4) reductive amination with (S)-2-methylpiperazine; (5) methylation

L11 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
with MeI; and (6) cleavage from the resin with TFA. Biol. assay for inhibition of receptor activation by calcium mobilization and pharmaceutical formulations were described. I and pharmaceutical compns. are potentially useful for the treatment of muscarinic acetylcholine receptor-mediated diseases, such as respiratory tract disorders.

L11 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006-494067 CAPLUS

DN 145:8188

TI Preparation of N-[picrapazinylmethyl]biphenyl benzamides as m3

muscarinic acetylcholine receptor antagonists

IN Budzik, Brian W.; Jin, Jian; Laine, Dramane I.; Palovich, Michael R.; Rivero, Ralph A.; Wang, Yonghui; Xie, Haibo

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 63 pp.

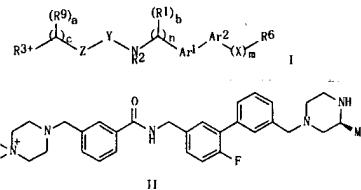
CODEN: PIXXD2

DT Patent

LA English

FAN. CNT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006055503	A2	20060526	WO 2005-US41230	20051115
WO 2006055503	A3	20060903		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KW, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LY, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TN, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, NZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, ND, RU, TJ, TM	EP 1827439	A2	20070905
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LY, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR	EP 2005-851625	20051115		
PRAI US 2004-627822P	P	20041115		
WO 2005-US41230	W	20051115		
OS MARPAT 145:8188				
GI				



AB Title compds. I+U- [wherein Ar1, Ar2 = independently (un)substituted Ph or monocyclic heteroaryl; R6 = (un)substituted amine; X = C(R1)p when m = 0-3; X = CO when m = 1; a = 0-2; b = 0-2; c = 0-3; n = 0-3; Y = CO, SO2, HNC(O) or OC(O); Z = (un)substituted (hetero)aryl, alkenyl, alkyl, etc.; R1, R2, R9 = independently H, (un)substituted (cyclo)alkyl, heterocycl, etc.; R3 = (un)substituted N+ containing cycl; U- =

L11 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
pharmaceutically acceptable counter ions, I-; Br-; Cl-; F-; CF3CO2-; mesylate and tosylate; or pharmaceutically acceptable salts thereof] were prep. as m3 muscarinic acetylcholine receptor antagonists. For instance, solid-phase synthesis of II-3CF3CO2H was realized in an overall yield of 38%, via (1) amination of DMNB resin (2,6-dimethoxy-4-polystyrenebenzylbenzaldehyde) bound 3-bromo-4-fluorobenzylamine with 3-formylbenzoic acid; (2) reductive amination with I-methylpiperazine; (3) Pd-catalyzed coupling with 3-formylphenylboronic acid; (4) methylation with MeI; (5) reductive amination with (S)-2-methylpiperazine and (6) cleavage from the resin with TFA. Biol. assay for inhibition of receptor activation by calcium mobilization and pharmaceutical formulations were described. I and pharmaceutical compns. are potentially useful for the treatment of muscarinic acetylcholine receptor-mediated diseases, such as respiratory tract disorders.

L11 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006-437585 CAPLUS

DN 144:467911

TI Preparation of diphenylalkyl cyclohexyl urea derivatives as muscarinic acetylcholine receptor antagonists

IN Busch-Petersen, Jakob; Boehm, Jeffrey Charles; Li, Huijie; Taggart, John J.; Yan, Hongxing

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 79 pp.

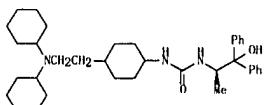
CODEN: PIXXD2

DT Patent

LA English

FAN. CNT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006050239	A2	20060511	WO 2005-US39209	20051027
WO 2006050239	A3	20061012		
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R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR	EP 2005-824984	20051028		
PRAI US 2004-623558P	P	20041029		
WO 2005-US39209	W	20051027		
OS MARPAT 144:467911				
GI				

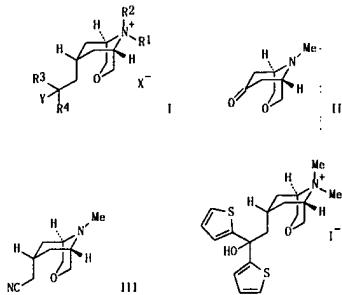


AB Muscarinic acetylcholine receptor antagonists are prepared. E.g., I was prepared by a series of reactions starting with tert-Bu [4-(2-oxethyl)cyclohexyl]carbamate and dicyclohexylamine. In vitro and iv vivo functional assays for muscarinic acetylcholine receptor inhibitory activity are given. Also pharmaceutical formulations are given.

LII ANSWER 17 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

LII ANSWER 18 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN							
AN 2005:1311319 CAPLUS							
DN 144-51593							
TI 3-Oxa-9-azonibicyclo[3.3.1]nonanes as muscarinic acetylcholine receptor antagonists, their preparation, pharmaceutical compositions, and use in therapy							
IN Busch-Petersen, Jakob; Neipp, Christopher E.; Palovich, Michael R.							
PA Glaxo Group Limited, UK							
SO PCT Int. Appl., 30 pp.							
CODEN: PIXD2							
DT Patent							
LA English							
FAN. CNT 1							
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
P1 WO 2005118594	A1	20051215	WO 2005-US18563	20050526			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, LZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, NZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, IG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	EP 1749012	A1	20070207	EP 2005-753818	20050526	
			R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV	PRA1 US 2007232599	20071004	US 2006-568909	20061110
				PRA1 US 2004-57529P	20040528		
				WO 2005-US18563	20050526		
OS	CASREACT 144-51593; MARPAT 144-51593						
G1							

LII ANSWER 18 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB The invention relates to a group of 3-oxa-9-azonibicyclo[3.3.1]nonanes I, which are antagonists of muscarinic acetylcholine receptors (mAChRs). Compounds I, R1 and R2 are independently selected from H, Cl-12 alkyl, C2-10 alkenyl, C3-6 cycloalkyl, C1-6 alkyl, aryl-Cl-10 alkyl, hydroxy-Cl-10 alkyl, cyano-Cl-6 alkyl, halo-Cl-10 alkyl, (trifluoromethyl)-Cl-6 alkyl, C1-6 alkoxycarbonyl, and methoxy-Cl-6 alkoxycarbonyl, R3 and R4 are independently selected from C1-6 alkyl, C5-6 cycloalkyl, C6-10 cycloalkylalkyl, 2-hienyl, (un)substituted aryl, (un)substituted C5-6 heterocyclyl having N or O as the heteroatom, C5-6 heterocyclyl having N or O as the heteroatom, and C6-10 heterocyclylalkyl having N or O as the heteroatom; Y is OH or cyano; and X- is a physiol. acceptable anion associated with the pos. charge of the N atom, including chloride, bromide, iodido, hydroxide, sulfate, nitrate, phosphate, acetate, trifluoroacetate, fumarate, citrate, tartrate, oxalate, succinate, mandelate, methanesulfonate, p-toluenesulfonate, etc.; and the side chain indicated may have either endo or exo orientation, but is preferred with endo. The invention also relates to the preparation of I, pharmaceutical compositions containing I and methods of specifically acceptable carriers, as well as to the use of the compns. for the treatment of mAChR-mediated diseases of the respiratory tract. Horner-Evans reaction of 9-methyl-3-oxa-9-azabicyclo[3.3.1]nonan-7-one (II) with di-Et (cyanoethyl)phosphonate and stereoselective hydrogenation gave endo-nitrile III, which underwent hydrolysis to the Et ester followed by addition of 2-thienyllithium and N-alkylation with Me iodide to give iodide IV. The compds. of the invention are antagonists of mAChRs (no data).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LII ANSWER 19 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

LII ANSWER 19 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN							
AN 2005:1259696 CAPLUS							
DN 144-22816							
TI Preparation of quinuclidine salts as muscarinic acetylcholine receptor antagonists for use against respiratory tract diseases							
IN Laine, Dramane I.; McClelland, Brent W.; Neipp, Christopher E.; Palovich, Michael R.							
PA Glaxo Group Limited, UK							
SO PCT Int. Appl., 32 pp.							
CODEN: PIXD2							
DT Patent							
LA English							
FAN. CNT 1							
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
P1 WO 200512644	A2	20051201	WO 2005-US16148	20050510			
WO 200512644	A3	20060330					
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, LZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, ME, MN, MW, NZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RG, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, IG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	EP 1747219	A1	20070131	EP 2005-742935	20050510	
			R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV	PRA1 US 2007173646	20070226	US 2006-568930	20061110
				PRA1 US 2004-570581P	20040513		
				WO 2005-US16148	20050510		
OS	CASREACT 144-22816; MARPAT 144-22816						
G1							

AB Quinuclidinium salts (shown as I; variables defined below: e.g. 4-(cyanodiphenylmethyl)-1-[3-(phenyloxy)propyl]-1-azoniabicyclo[2.2.2]octane bromide (shown as I)) as muscarinic acetylcholine receptor antagonists (no data) and methods of using them are provided. Methods of preparation are claimed and preps. and/or characterization are provided. For example, compound I was prepared in 79 % yield by a reaction of 3-oxa-9-azabicyclo[3.3.1]nonan-7-one with 3-bromo-1-phenylpropene. For example, I- was prepared in 60 % yield from (1-azoniabicyclo[2.2.2]octyl-4-yl)diphenylmethanol (preparation described) and TMSN3 in 1,2-dichloroethane in the presence of AlCl3. For I-: R1 = a bond, H, Cl-15 alkyl, halo-Cl-15 alkyl, aryl Cl-15 alkyl, Cl-15 alkylcycloalkyl, cycloalkyl, Cl-15 alkenyl,

L11 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 hydroxy-substituted Cl-15 alkyl, Cl-15 alkylaryl, (CR77)qORa,
 (CR77)qNRaRa, (CR77)qNC(O)Ra, (CR77)qC(O)NRaRa, (CR77)qC(O)Ra,
 (CR77)qC(O)ORa, and (CR77)qC(O)Ra; or RI = phthalimidalkyl,
 heterocyclalkyl, heterocycloxyalkyl; and R2 and R3 = aryl, aryl Cl-4
 alkyl, Cl-4 alkylaryl, heteroaryl, heteroaryl Cl-4 alkyl, Cl-4
 alkylheteroaryl, heterocycl, Cl-4 alkylheterocycl and heterocycl
 Cl-4 alkyl; Ra = H, Cl-15 alkyl, Cl-15 alkoxy, aryl, aryl Cl-15-alkyl,
 heteroaryl, heteroaryl Cl-15 alkyl, heterocycl and heterocycl Cl-15
 alkyl; q is 0-15; n = 1-14; a = 1-15; p = 1-4; and X = a physiol.
 acceptable anion; addnl. details are given in the claims.

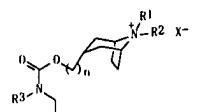
L11 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)		L11 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN	
AN 2005:1200309 CAPLUS	DN 143:460035	AN 2005:1200309 CAPLUS	DN 143:460035
TI 4-[hydroxy(diaryl)methyl]-1-azabicyclo[2.2.2]octanum bromides as	TI 4-[hydroxy(diaryl)methyl]-1-azabicyclo[2.2.2]octanum bromides as	muscarinic acetylcholine receptor antagonists, their preparation,	muscarinic acetylcholine receptor antagonists, their preparation,
IN Laine, Dramane I.; Palovich, Michael R.;	IN Laine, Dramane I.; Palovich, Michael R.;	pharmaceutical compositions, and use in therapy	pharmaceutical compositions, and use in therapy
PA Glaxo Group Limited, UK	PA Glaxo Group Limited, UK	LA English	LA English
SO PCT Int. Appl. 96 pp.	SO PCT Int. Appl. 96 pp.	FAN. CNT	FAN. CNT
CODEN: PIXX2	CODEN: PIXX2	PATENT NO.	KIND
DT Patent	DT Patent	DATE	APPLICATION NO.
LA English	LA English	DATE	DATE
P1 WO 2005104745 A2 20051110 WO 2005-US14386 20050427	WO 2005104745 A3 20050803	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	20050427
EP 1740117 A1 20051110 EP 2005-237576 20050427	EP 1740117 A2 20070110 EP 2005-2564742 20050427	W: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU	20050427
CN 1976701 A 20070606 CN 2005-80021536 20050427	CN 1976701 A 20070102 BR 2005-10170 20050427	BR 2005010170 A 20070810 IN 2006-DS5413 20060919	IN 20060NDS5413 A 20070117 MX 2006-PA12405 20061026
IN 2006NDS5413 A 20070117 IN 2006-DS5413 20060919	IN 2006NDS5413 A 20070202 KR 2006-722276 20061026	KR 2007015412 A 20070202 KR 2006-722276 20061026	KR 2007015412 A 200605417 NO 2006-5417 20061124
MX 2006PA12405 A 20070117 MX 2006-PA12405 20061026	MX 2006PA12405 A 20070202 KR 2006-722276 20061026	NO 200605417 A 200605417 NO 2006-5417 20061124	US 2007185155 A1 20070809 US 2007-568330 20070503
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PRA1 US 2004-565623P P 20040427	PRA1 US 2004-565623P P 20040427	US 2004-565623P P 20040427	US 2004-565623P P 20040427
WO 2005-US14386 W 20050427	WO 2005-US14386 W 20050427	US 2007-568330 A1 20070503	US 2007-568330 A1 20070503
OS CASREACT 143:460035: MARPAT 143:460035: G1	OS CASREACT 143:460035: MARPAT 143:460035: G1		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 4-[hydroxy(diaryl)methyl]-quinuclidinium bromides of formula I, which are muscarinic acetylcholine receptor antagonists. In compds. I, RI is selected from Cl-15 alkyl, halo-substituted Cl-15 alkyl, Cl-15 alkyl-cycloalkyl, cycloalkyl, C2-15 alkenyl, Cl-15 alkyl-aryl, etc.; R2 and R3 are independently selected from (un)substituted aryl, (un)substituted Cl-4 alkyl-aryl, (un)substituted

L11 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 heteroaryl, (un)substituted Cl-4 alkyl-heteroaryl, (un)substituted heterocycl, and (un)substituted Cl-4 alkyl-heterocycl; and X- is a physiol. acceptable anion, such as chloride, bromide, iodide, hydroxide, sulfate, nitrate, phosphate, acetate, trifluoroacetate, fumarate, citrate, tartrate, oxalate, succinate, mandelate, methanesulfonate, and p-toluenesulfonate. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of muscarinic acetylcholine receptor-mediated diseases via nasal or oral inhalation. Substitution of 3-fluorobenzyl bromide with ethylene glycol followed by bromination gave 2-bromoethyl ether (II), which underwent substitution with 1-azabicyclo[2.2.2]oct-4-yl(diphenyl)methanol (III) resulting in the formation of quinuclidinium bromide IV. The compds. of the invention inhibit muscarinic M3 receptors (no data).

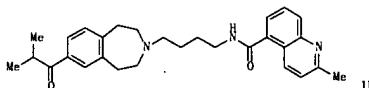
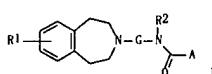
L11 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)		L11 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN	
AN 2005:1154378 CAPLUS	DN 143:422258	AN 2005:1154378 CAPLUS	DN 143:422258
TI Preparation of 8-azabicyclo[3.2.1]octane carbamates as	TI Preparation of 8-azabicyclo[3.2.1]octane carbamates as	muscarinic acetylcholine receptor antagonists.	muscarinic acetylcholine receptor antagonists.
IN Laine, Dramane I.; Palovich, Michael R.; Xie, Haibo;	IN Laine, Dramane I.; Palovich, Michael R.; Xie, Haibo;	Buffet, Noemie	Buffet, Noemie
PA Glaxo Group Limited, UK	PA Glaxo Group Limited, UK	SO PCT Int. Appl. 67 pp.	SO PCT Int. Appl. 67 pp.
DT Patent	DT Patent	CODEN: PIXX2	CODEN: PIXX2
LA English	LA English	FAN. CNT	FAN. CNT
P1 WO 2005099706 A2 20051027 WO 2005-US11975 20050407	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	20050407	
EP 1732923 A2 20061220 EP 2005-737620 20050407	EP 1732923 A2 20061220 EP 2005-737620 20050407	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV
US 2007238751 A1 20070101 US 2006-599717 20061006	US 2007238751 A1 20070101 US 2006-599717 20061006	US 2005-US11975 W 20050407	US 2005-US11975 W 20050407
PRA1 US 2004-560155P P 20040407	PRA1 US 2004-560155P P 20040407	GI	GI



AB Title compds. [I]: RI = bond, H, alkyl; R2 = H, alkyl, haloalkyl, cyanoalkyl, alkonyl, cycloalkenyl, alkylcycloalkyl, cycloalkylalkyl, etc.; R3, R4 = (substituted) Ph, thiényl, furyl, cycloalkyl; n = 0-2; X- = pharmaceutically acceptable counterion, were prepared for treatment of chronic obstructive pulmonary disease, chronic bronchitis, asthma, chronic rhinitis (no data). Thus, (2-endo)-2-[[(2-fluorophenyl)methyl]-2-thienylcarbamate trifluoroacetate (preparation given) was stirred with NaBr and NaHCO3 in CH2Cl2/Me3COEt for 16 h to give (3-endo)-3-[[[(2-fluorophenyl)methyl]-2-thienyl]amino]carbonyloxy]methyl-8,8-dimethyl-8-azabicyclo[3.2.1]octane bromide.

L11 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:1103585 CAPLUS
 DN 143:386758
 TI Preparation of benzazepines as muscarinic acetylcholine receptor antagonists
 IN Busch-Petersen, Jakob; Cooper, Anthony W.; J.; Laine, Dramane I.; Palovich, Michael R.; Davis, Roderick S.; Fu, Wei
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 47 pp.
 DT Patent
 LA English
 LAN CNT 3
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2005094824 A1 20051013 WO 2004-US8026 20040317
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 EP 1725240 A1 20061129 EP 2004-821845 20040317
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LT, LV
 JP 2007520512 T 20071025 JP 2007-503876 20040317
 US 2007185090 A1 20070809 US 2006-598887 20060914
 PRAI WO 2004-US8026 W 20040317
 OS CASREACT 143:386758; MARPAT 143:386758
 GI



AB Title compds. I [R1 = (un)substituted alkanoyl, aroyl and aroylalkyl; G = alkyl, substituted cyclohexyl or alkylamide; R2 = H or alkyl; A = (un)substituted alkyl, X-Ar, CH=CH-Ar, etc.; X = bond, O, S, etc.; Ar = (un)substituted Ph, aromatic heterocycle or bicyclic heterocycle] and their

L11 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

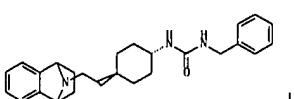
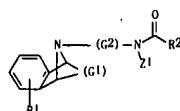
AN 2005:1103428 CAPLUS
 DN 143:386757
 TI Preparation of arylcyclohexyl amides and ureas as M3 muscarinic acetylcholine receptor antagonists

IN Busch-Petersen, Jakob; Cooper, Anthony W.; J.; Laine, Dramane I.; Palovich, Michael R.; Wan, Zehong; Yan, Hongying; Zhu, Chongjie

PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 79 pp.
 DT Patent
 LA English
 LAN CNT 3

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2005094251 A2 20051013 WO 2004-US8025 20040317
 WO 2005094251 A3 20050330
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 EP 1725238 A2 20061129 EP 2004-821844 20040317
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LT, LV
 JP 2007520511 T 20071025 JP 2007-503875 20040317
 US 2007185148 A1 20070809 US 2006-598885 20060914
 PRAI WO 2004-US8025 W 20040317
 OS MARPAT 143:386757
 GI



L11 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 pharmaceuticals acceptable salts, are prepd. and disclosed as antagonists of muscarinic acetylcholine receptors. Thus, e.g., I was prepd. by cyclization of 3-aminobenzoic acid with sodium 3-nitrobenzene sulfonate and subsequent amidation/oxidn. sequence using 4-amino-1-butanol followed by coupling with 2-methyl-1-(2,3,4,5-tetrahydro-1H-3H-benzazepin-7-yl)-propan-1-one (prepn. given). The inhibitory activity of I was evaluated using receptor-activated calcium mobilization assay (no data). I as antagonist of muscarinic acetylcholine receptor should prove useful in the treatment of chronic obstructive lung disease, chronic bronchitis and asthma. Pharmaceutical compns. comprising I are disclosed.
 RE. CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. I [Z1 = H or alkyl; R1 = H, halo, C(O)aryl, etc.; G1 = CH2CH2 or CH=CH; G2 = alkyl or substituted cyclohexyl; R2 = XAr, XArIVAr2 or NR3Z(Ar)n; X = bond, NR3 or alkyl; R3 = H (un)substituted alkyl or alkylaryl; Z = (un)substituted alkyl or alkyl-Y2 or Z and R3 or Z and Ar may form 4-7 membered ring; Ar = (un)substituted aryl, aromatic heterocycle, heterobicyclic ring system, etc.; Ar1 and Ar2 independently = (un)substituted Ph or aromatic heterocycle; Y = bond, NHCO, CONH, etc.; Y2 = NR3, O, S, etc.; n = 0-3] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of M3 muscarinic acetylcholine receptors. Thus, e.g., I was prepared by coupling of 1,2-dihydro-4-phenyl-4-aminobutylamine with (4-(2-oxo-ethyl)-cyclohexyl)benzoic acid tert-Bu ester followed by cyclization and subsequent benzylation using benzyl isocyanate. The inhibitory activity of I was evaluated using receptor-activated calcium mobilization assay (no data). I as antagonist of M3 muscarinic acetylcholine receptor should prove useful in the treatment of chronic obstructive lung disease, chronic bronchitis and asthma. Pharmaceutical compns. comprising I are disclosed.

L11 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005-1021624 CAPLUS

DN 143:326392

TI Preparation of biaryl amines as M3 muscarinic acetylcholine

receptor antagonists
IN Budzik, Brian W.; Cooper, Anthony W. J.; Corbett, David Francis;
Jin, Jian; Laine, Dramane I.; Wang, Yonghui; Moore, Michael Lee;
Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng; Xie, Haibo; Zhu, Chongjie

PA Glaxo Group Limited, UK; et al.

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DT Patent

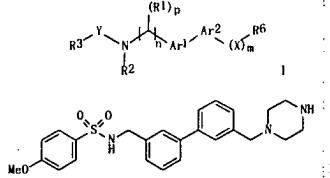
LA English

FAN, CNT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
P1 WO 2005087236	A1	20050922	WO 2005-US8302	20050311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, NZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1725236	A1	20061129	EP 2005-725459	20050311
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, LV				
PRA1 US 2004-552106P	P	20071011	JP 2007-503080	20050311
WO 2005-US8302	W	20050311		

OS MARPAT 143:326392

G1



AB Title compds. I [wherein: Ar1, Ar2 = (un)substituted Ph or monocyclic heteroaryl; R6 = (un)substituted amine; X = C(R1)p when m = 0-3; X = CO when m = 1; p = 0-2; n = 0-3; Y = CO, SO, SO2, HNC(O) or OC(O); R1, R2 = H, (un)substituted alkyl, etc.; R3 = (un)substituted (hetero)aryl, etc., or pharmaceutically acceptable salts thereof] were prepared as M3 muscarinic acetylcholine receptor antagonists. For instance, solid-phase synthesis of II-2CF3COOH was realized in an overall

L11 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
yield of 46% on 2,6-dimethoxy-4-polystyrenebenzylbenzaldehyde (DMB resin), via (1) reductive amination with 3-bromobenzylamine hydrochloride; (2) N-sulfonation with 4-methoxybenzenesulfonyl chloride; (3) Pd-catalyzed coupling with 3-formylphenylboronic acid; (4) reductive amination with N-Bocpiperazine; and (5) cleavage from the resin with TFA. No biol. data were given. I and pharmaceutical compns. are potentially useful for the treatment of muscarinic acetylcholine receptor-mediated diseases, such as respiratory tract disorders.

RE.CNT I THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005-673020 CAPLUS

DN 143:172762

TI Preparation of 8-azabicyclo[3.2.1]octane derivatives as muscarinic acetylcholine receptor antagonists

IN Laine, Dramane I.; Palovich, Michael R.; Preston, Alexander G.; Cooper, Anthony William James

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN, CNT

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
P1 WO 2005067537	A2	20050728	WO 2005-US1333	20050113
WO 2005067537	A3	20060518		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM, RW: BW, GH, GM, KE, LS, MW, NZ, NA, SD, SL, SZ, TZ, UG, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TG				
AU 2005204935	A1	20050728	AU 2005-204935	20050113
CA 2552880	A1	20050728	CA 2005-2552880	20050113
EP 1711183	A2	20061018	EP 2005-711495	20050113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, NC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1929844	A	20070314	CN 2005-80008126	20050113
BR 2005006777	A	20070522	BR 2005-6777	20050113
JP 2007518740	T	20070712	JP 2006-549649	20050113
IN 2006IN039364	A	20070427	IN 2006-IN39364	20060710
MX 2006PA07958	A	20061107	MX 2006-PA7958	20060712
NO 2006003636	A	20061004	NO 2006-3636	20060811

PRA1 US 2004-536092P

WO 2005-US1333

G1

L11 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CHCl3 was treated with diphenylphosphoryl azide in CHCl3 and the soln. was reacted with II and p-TsOH to give desired carbamate III.

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The author prepared several azabicyclo[3.2.1]octane derivs. I [A = (CH2)n, n = 0, 1; R1, R2 = bond, H, Me; R3 = H, Cl-C4 alkyl; R4, R5 = H, halo, Cl-C4alkyl, C2-C4alkenyl, (CR92)qORa, (CR92)qNORA; R6, R7, R8 = H, halo, cyano, Cl-C4alkyl, C2-C4alkenyl, Cl-C4alkoxy, (CR92)qORA, (CR92)qNORA; R6R7 or R7R8 = 5-, 6-membered ring; Ra = H, Cl-C4alkyl; Rb = H, Cl-C4alkyl; q = 0-4; X = Cl, Br, iodo, OH, SO3, NO2, etc., to be used as muscarinic acetylcholine receptor antagonists, specifically for treating M3 receptor mediated diseases, such as chronic bronchitis, chronic respiratory obstruction, pulmonary fibrosis, chronic emphysema, and allergic rhinitis via inhalation of a pharmaceutical composition of the compound. To illustrate the synthesis, 8-(phenylmethyl)-8-azabicyclo[3.2.1]octan-3-one reacted with methyltrifluoromethylphosphonium bromide to give the 3-methylidene compound which was treated with diisobutylborane followed by removal of the benzyl group and protection with Boc to give ester II. 3'-Trifluoromethyl-2-biphenylcarboxylic acid in

L11 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005-540458 CAPLUS

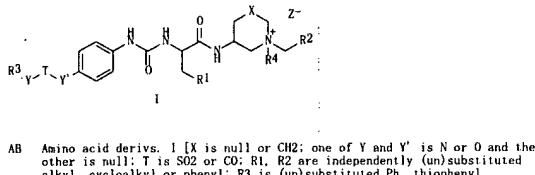
DN 143:784803
TI Preparation of amino acid derivatives as novel M3 muscarinic acetylcholine receptor antagonists
Busch-Petersen, Jakob; Jin, Jian; Moore, Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng; Wang, Yonghui
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 200505941	A2	20050623	WO 2004-US40668	20041203
WO 200505941	A3	20050916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TZ, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004296208	A1	20050623	AU 2004-296208	20041203
CA 2549273	A1	20050623	CA 2004-2549273	20041203
EP 1694327	A2	20060830	EP 2004-813056	20041203
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CN 1913895	A	20070214	CN 2004-80041273	20041203
BR 2004017343	A	20070313	BR 2004-17343	20041203
JP 2007513182	T	20070524	JP 2006-542826	20041203
MX 2006PA06256	A	20060823	MX 2006-PA6256	20060602
IN 2006DN03161	A	20070824	IN 2006-DN3161	20060602
NO 2006003032	A	20060830	NO 2006-3032	20060629
US 2007179180	A1	20070802	US 2007-581229	20070315
PRAI US 2003-526766P	P	20031203		
WO 2004-US0668	W	20041203		
OS MARPAT 143:78480				
GI				



L11 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005-540457 CAPLUS

DN 143:78479
TI Preparation of amino acid derivatives as novel M3 muscarinic acetylcholine receptor antagonists
Busch-Petersen, Jakob; Jin, Jian; Moore, Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng; Wang, Yonghui
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 200505940	A2	20050623	WO 2004-US40667	20041203
WO 200505940	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TZ, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, RJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004296207	A1	20050623	AU 2004-296207	20041203
CA 2549272	A1	20050623	CA 2004-2549272	20041203
EP 1708702	A2	20061011	EP 2004-813055	20041203
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
BR 2004017215	A	20070221	BR 2004-17215	20041203
JP 2007513181	T	20070524	JP 2006-542825	20041203
IN 2006DN03111	A	20070824	IN 2006-DN3111	20060531
MX 2006PA06372	A	20060823	MX 2006-PA6372	20060605
NO 2006002992	A	20060627	NO 2006-2992	20060627
US 2007179184	A1	20070802	US 2007-581230	20070317
PRAI US 2003-526824P	P	20031203		
WO 2004-US0667	W	20041203		
OS CASREACT 143:78479: MARPAT 143:78479				
GI				



L11 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
furanyl, pyridinyl, etc.; R4 is alkyl, cycloalkyl or cycloalkylalkyl; Z- is a pharmaceutically acceptable ion, e.g., halide, trifluoroacetate, mesylate or tosylate] were prep'd. as muscarinic acetylcholine receptor antagonists. Thus, N-[{(3S)-1-[(3,4-dimethoxyphenyl)methyl]-1-methyl-3-piperidinyl}-N-[(4-[(2,5-dimethyl-3-thienyl)sulfonyl]oxy)phenyl]amino]carbonyl]-L-tyrosinamide trifluoroacetate was prep'd. by a multistep procedure in solid phase.

L11 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L11 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:451115 CAPLUS

DN 143:7605

TI A preparation of azabicyclo[3.2.1]octane derivatives, useful as M3

muscarinic acetylcholine receptor antagonists

IN Wan, Zehong; Yan, Hongxing; Palovich, Michael R.; Laine,

Dramane, I.; Lee, Dennis; Stavenger, Robert A.; Goodman, Krista B.;

Hilfiker, Mark A.; Cui, Haifeng; Viet, Andrew W.; Marino, Joseph P.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005045586	A2	20050526	WO 2004-US36663	20041104
WO 2005045586	A3	20050528		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, ON, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CZ, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GS, GQ, GW, ML, MR, NE, SN, TD, TG			

EP 1682142	A2	20060726	EP 2004-810294	20041104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, ES, FI, SK, HR, IS	JP 2007510731	T	JP 2006-539633	20041104
US 2005:5116	A1	20060709	US 2006-577834	20060501
US 2007270456	A1	20071122	US 2007-774885	20070709

PRAI US 2003-5117243P

WO 2004-US36663

W: 20031104

US 2006-577834

A1 20060501

OS CASREACT 143:7605: MARPAT 143:7605

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of azabicyclo[3.2.1]octane derivs. of formula I-X- [wherein: X- is an anion; R1 is alkyl, alkenyl, alkylcycloalkyl, or alkyl-Ome, etc.; R2 is (cyclo)alkyl, heterocycloalkyl, or cycloalkylalkyl, etc.], useful as M3 muscarinic acetylcholine receptor antagonists (no biol. data). For instance, quaternary azabicyclo[3.2.1]octane derivative I1-Br- was prepared via quaternization of N-methylazabicyclo[3.2.1]octane derivative I1-Br- with cyclopropylmethyl bromide with a yield of 51%.

PRAI US 2003-511009P

WO 2004-US333638

W: 20040528

CA 2542657

EP 1682142

A1 20060712

EP 2004-794886

20041012

US 2006-577834

A1 20060501

20041012

US 2007270456

A1 20070709

20041012

US 2007-774885

A1 20070709

20041012

US 20070709

A1 20070709

20041012

US 2007203078

A1 20070709

20041012

AU 2007272726

A1 20070718

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JP 2007203077

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A1 20070709

20041012

JP 2007203078

A1 20070718

20041012

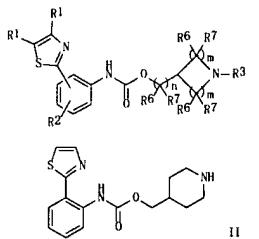
US 20070709

L11 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:120688 CAPLUS
SN 142-121429

DN 140:181438
TI Preparation of piperidinylmethyl (thiazolyl)phenylcarbamates as M3
muscarinic acetylcholine receptor antagonists
IN Laine, Dramane I.; Bell, Ricahrd; Busch-Petersen, Jakob
PA ; Palovich, Michael
PA Glaxo Group Limited, UK
SO PCT Int. Appl. ; 116 pp.
CODEN: PIXXD2
DT Patent
LA English

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004012584	A2	20040212	WO 2003-US24569	20030806
	WO 2004012584	A3	20040624		
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	RW: GH, GM, KE, LS, NW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GE, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, GL				
AU	2003261392	A1	20040223	AU 2003-261392	20030806
EP	1549278	A2	20050705	EP 2003-767232	20030806
	R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LU, NL, SE, MC, PT, SI, LI, LV, FI				
	US		20060216		
	JP	2006055507	20051215	JP 2004-526043	20030806
	JP	2005277675	20051215	US 2005-523478	20050204
PRAI	US 2002-401756P	P	20020806		
	WO 2003-US24569	W	20030806		
OS	MARPAT 140-181438	GI			

L11 ANSWER 35 OF 35 CAPLUS. COPYRIGHT 2007 ACS on STN (Continued)
 alkyl, aryl, halogen, alkoxy; R₃ = H, (cyclo)alkyl, alkenyl, alkynyl, (unsubstituted)halkaryl, cycloalkylalkyl; R₆, R₇ = independently H, alkyl or R₆ and R₇ together form an (un)substituted (hetero)cyclic ring; n = 1-2; m = 1-2] were prep'd. For example, reaction of tert-Bu 4-[(2-(2-bromoethyl)amino)carboxyloxyethyl]piperidine-1-carboxylate with bis(pinacolato) diboron, afford 11-(CF₃CO₂H). Thus, I and their deprotection with CF₃CO₂H, afford 11-(CF₃CO₂H).
 Their pharmaceutical compns. are useful as M₃ muscarinic acetylcholine receptor antagonists for the treatment of chronic obstructive lung disease, chronic bronchitis, asthma, chronic respiratory obstruction, pulmonary fibrosis, pulmonary emphysema, and all forms of chronic irritable bowel syndrome, spastic colon, gastritis, peptic ulcers, gastrointestinal control, and hyperacidity, diarrhoeitis, pain accompanying spasms of gastrointestinal smooth musculature; urinary-tract disorders accompanying micturition disorders, neurogenic pollakiuria, neurogenic bladder, nocturnal enuresis, psychosomatic bladder, incontinence assoc'd. with bladder spasms or chronic cystitis, urinary urgency or pollakiuria, and motion sickness (no data).



AB Title compds. I [wherein R1 = halogen, alkyl, CH2F, CHF2; R2 = H, OH,

=> d his full

(FILE 'HOME' ENTERED AT 13:41:00 ON 29 NOV 2007)

FILE 'REGISTRY' ENTERED AT 13:41:10 ON 29 NOV 2007

L1 STRUCTURE UPLOADED
 D

L2 0 SEA SSS SAM L1
L3 60 SEA SSS FUL L1

FILE 'CPLUS' ENTERED AT 13:41:40 ON 29 NOV 2007

L4 23 SEA ABB=ON PLU=ON L3
 D QUE L4 STAT

 D 1-23 IBIB IABS HITSTR

 E BUSCH PETERSEN JAKOB/AU

L5 51 SEA ABB=ON PLU=ON ("BUSCH PETERSEN J"/AU OR "BUSCH PETERSEN
 JAKOB"/AU)

 E COOPER ANTHONY W/AU

L6 18 SEA ABB=ON PLU=ON ("COOPER ANTHONY W J"/AU OR "COOPER
 ANTHONY WILLIAM JAMES"/AU)

 E LAINE DRAMANE/AU

L7 35 SEA ABB=ON PLU=ON ("LAINE DRAMANE"/AU OR "LAINE DRAMANE
 I"/AU OR "LAINE DRAMANE IBRAHIM"/AU)

 E MCCLELAND BRENT/AU

L8 18 SEA ABB=ON PLU=ON ("MCCLELAND BRENT"/AU OR "MCCLELAND BRENT
 W"/AU)

 E PALOVICH MICHAEL/AU

L9 63 SEA ABB=ON PLU=ON ("PALOVICH MICHAEL"/AU OR "PALOVICH
 MICHAEL R"/AU OR "PALOVICH MICHAEL ROBERT"/AU)

L10 120 SEA ABB=ON PLU=ON L5 OR L6 OR L7 OR L8 OR L9

L11 35 SEA ABB=ON PLU=ON L10 AND MUSCARINIC
 D QUE L11 STAT

 D 1-35 BIB ABS

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